

```
17 18 19 20
ring nodes :
   1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16
chain bonds :
   1-17 2-18 18-19 18-20
ring bonds :
   1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
                                               11-12 11-16
   12-13 13-14 14-15 15-16
exact/norm bonds :
   1-17 18-19 18-20
exact bonds :
   2-18
normalized bonds :
   Match level:
   1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom
   10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS
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18:CLASS 19:CLASS 20:CLASS

=> d his

(FILE 'HOME' ENTERED AT 10:54:31 ON 29 OCT 2003)

FILE 'REGISTRY' ENTERED AT 10:54:36 ON 29 OCT 2003 STRUCTURE UPLOADED

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18 S L2 342 S L2 SSS FUL L4

FILE 'CAPLUS' ENTERED AT 11:10:06 ON 29 OCT 2003

Athermedic Athermedic

L5 8 S L4

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L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:757475 CAPLUS
139:276879

Frequention of N-(substituted benzyl)-8-hydroxy-1,6naphthyridine-7-carboxamides useful as HIV integrase
inhibitors for treatment of HIV infection/AIDS

Egbettson, Helissay Langford, H. Harier Melamed,
Jeffrey Y. Wai, John S.; Han, Weir Perlow, Debbie S.;
Zhuang, Linghang, Embrey, Hark; Young, Steven D.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: COEN: PIXXD2

DOCUMENT TYPE: Patent

DOCUMENT TYPE: Patent LANGUAGE: English 2

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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WO	2003	0778	57	A	2	2003	0925		W	0 20	03-U	S767	1	2003	30312				
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		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GĐ,	GE,	GH,		
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KR,	ΚZ,	LC,	LK,	LR,	LS,		
		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,	PH,		
		PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,		
		UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZV,	AM,	AZ,	BY,	KG,	KZ,	MD,		
		RU,	TJ,	TM															
	RW:	GH,	GM,	ΚE,	LS,	MV,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	BG,		
		CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	ΗU,	IE,	IT,	LU,	MC,		
		NL,	PT,	SE,	SI,	SK,	TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,		
		ML.	MR.	NE.	SN.	TD.	TG												

ML, MR, NE, SN, TD, TG PRIORITY APPLN. INFO.: GI US 2002-364929P P 20020315

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT

Title compds. I [wherein Rl = H or F: R2 = carbamoylalkyl, carbamoyl, triazolyl or tetrazolyl, acylamino and derivs., 2-oxopytrolidin-1-yl and analogs. (cyclo)alkoxycarbonyl, COY; Y = azetidinyl, pytrolidinyl, piperidinyl, morpholinor R3 = H, carbamoyl and derivs., acylamino, carbamoyl(alkyl/methylthioxy/methyloxy/amino/alkylamino/alkenyl), (un)substituted 5- to 7-membred satd. heterocyclic ring contg. 1 to 4 heteroacoms (N, O or S), (un)substituted 7- to 9-bridged azabicycloalkyl satd. ring; or their pharmaceutically acceptable salts] were prepd. as HIV-integrase inhibitors for preventing and treating infection by HIV and for preventing, treating or delaying the onset of AIDS. For example, II.bul.Na was prepd via TEA-acylation of III.bul.Na by sodium salt fornation by reaction with NaOH at room temp, for 30 min. IV was prepd. from 8-bydroxy-1,6-naphthyridin-7-carboxylic acid He ester in 5 steps by NBS-bromination in CHCl3, 0-tosylation in CHCl3, condensation of the bromide with 1,4-butanesultam in CMF in the presence of

ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

606080-52-8 CAPLUS
1,6-Naphthyridine-7-carboxamide, N-[[2-[(dimethylamino)carbonyl]-4-fluorophenyl]methyl]-8-hydroxy-5-{methyl(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)

606080-55-1 CAPLUS
1.6-Maphthyridine-7-carboxamide, N-[[4-fluoro-2-[[(1-methylethyl)amino]carbonyl]phenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)

RN 606080-58-4 CAPLUS

Page 2

ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued) Cu20/2,2'-bipyridyl at 120.degree. for 4 h, deprotection of tosyl group, and base-catalyzed hydrolysis in MeOH overnight at 60.degree. Selected invention compds. inhibited the strand transfer activity of HIV integrase with IC50 < 0.5 .mu.M. The same compds. inhibited HIV replication in T-lymphoid cells with IC95 < 5 .mu.M. The compods. and their salts can be employed as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines.

r-lymphold deals With 1cbs < 3. mal. in Compos. and their saits can be employed as ingredients in pharmaceutical compos. optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines.

606080-42-6P, N-[4-Fluoro-2-[(methylamino)carbonyl]benzyl]-5-[1,1-dioxido-1,2-thiazinan-2-yl]-8-hydroxy-1,6-naphthyridine-7-carboxamide 606080-52-8P, N-[2-[(Dimethylamino)carbonyl]-4-fluorobenzyl]-5-[methyl(methylsulfonyl)amino]-8-hydroxy-1,6-naphthyridine-7-carboxamide 606080-55-1P, N-[4-Fluoro-2-[(isopropylamino)carbonyl]benzyl]-5-[1,1-dioxido-1,2-thiazinan-2-yl]-8-hydroxy-1,6-naphthyridine-7-carboxamide 606080-58-4P, N-[4-Fluoro-2-[(ethylamino)carbonyl]benzyl]-5-[1,1-dioxido-1,2-thiazinan-2-yl]-8-hydroxy-1,6-naphthyridine-7-carboxamide 606080-62-0P, N-[2-(Aminocarbonyl)-4-fluorobenzyl]-5-[1,1-dioxido-1,2-thiazinan-2-yl]-8-hydroxy-1,6-naphthyridine-7-carboxamide 606080-62-1P, N-[4-Fluoro-2-[(methylamino)carbonyl)benzyl]-5-((athylaulfonyl)amino]-8-hydroxy-1,6-naphthyridine-7-carboxamide 606080-67-5P, N-[4-Fluoro-2-[(methylamino)carbonyl)benzyl]-5-(6-methyl-1,1-dioxido-1,2,6-thiadiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 606139-19-8P, N-[4-Fluoro-2-[(methylamino)carbonyl]benzyl]-5-(6-methyl-1,1-dioxido-1,2,6-thiadiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 606139-19-8P, N-[4-Fluoro-2-[(methylamino)carbonyl]-4-fluorobenzyl]-8-hydroxy-5-(methylamino)carbonyl]benzyl]-5-(1,1-dioxido-1,6-naphthyridine-7-carboxamide 606139-19-9P, N-[4-Fluoro-2-[(methylamino)carbonyl]-8-hydroxy-5-(6-methyl-1,1-dioxido-1,2,6-thiadiazinan-2-yl)-1,6-naphthyridine-7-carboxamide 606139-19-9P, N-[4-Fluoro-2-[(methylamino)carbonyl]-8-hydroxy-5-(6-methyl-1,1-dioxido-1,2,6-thiadiazinan-2-yl)-1,6-naphthyridine-7-carboxamide 606139-19-9P, N-[4-Fluoro-2-[(methylamino)carbonyl]-4-fluorobenzyl]-8-hydroxy-5-(6-methyl-1,1-dioxido-1,2,6-thiadiazinan-2-yl)-1,6-naphthyridine-7-carboxamide 606139-19-9P, N-[4-Fluoro-2-[(methylamino)carbonyl] phenyl]methyl-8-hydroxy-5-(tetrahydro-1,1-dioxido-1,2-dethiadiazinan-2-yl)-1,6-

ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
1,6-Maphthyridine-7-carboxamide, N-[[2-[(ethylamino)carbonyl]-4fluorophenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2yl)- (9Cl) (CA INDEX NAME)

606080-62-0 CAPLUS
1,6-Naphthyridine-7-carboxamide, N-{(2-(aminocarbonyl)-4-fluorophenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9C1) (CA INDEX NAME)

606080-63-1 CAPLUS
1,6-Naphthyridine-7-carboxamide, N-[[2-(aminocarbonyl)-4-fluorophenyl]methyl]-8-hydroxy-5-[methyl(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)

606080-67-5 CAPLUS
1,6-Naphthyridine-7-carboxamide, 5-[(ethylsulfonyl)methylamino]-N-[[4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]-8-hydroxy- (9CI) (CA INDEX

606080-70-0 CAPLUS
1,6-Maphthyridine-7-carboxamide, N-[[4-fluoro-2-[[methylamioo]carbonyl]phenyl]methyl]-8-hydroxy-5-(tetrahydro-6-methyl-1,1-dioxido-2H-1,2,6-thiadiazin-2-yl)- (9CI) (CA INDEX NAME)

ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

606139-19-9 CAPLUS
1,6-Naphthyridine-7-carboxamide, N-[[2-[(dimethylamino)carbony1]-4fluorophenyl]methyl)-8-hydroxy-5-(tetrahydro-6-methyl-1,l-dioxido-2H-1,2,6thiadiazin-2-yl)- (GCI) (CA INDEX NAME)

606080-26-6P, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-7-[[[4-fluoro-2-[(methylamino) carbonyl]benzyl] amino] carbonyl]-8-hydromy-1,5-naphthyridine sodium salt 606080-27-7P, 7-[[2-(Dimethylamino) carbonyl]-4-fluorobenzyl] amino] carbonyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydromy-1,6-naphthyridine sodium salt 606080-28-9P, 7-[[2-(Dimethylamino) carbonyl]-5-[methyl (methylsulfonyl) amino]-8-hydromy-1,6-naphthyridine sodium salt 606080-29-9P, 7-[[(4-fluoro-2-[(methylamino) carbonyl])-6-naphthyridine sodium salt 606080-39-9P, 7-[([4-fluoro-2-[(methylamino) carbonyl])-8-hydromy-1,6-naphthyridine sodium salt 606080-30-2P, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-7-[[(4-fluoro-2-[(isopropylamino] carbonyl]-8-hydromy-1,6-naphthyridine sodium salt 606080-33-3P, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-7-[[(2-((ath)lamino) carbonyl]-4-fluorobenzyl] amino] carbonyl]-8-hydromy-1,6-naphthyridine sodium salt 606080-33-3P, 5-(1,2-Dioxido-1,2-thiazinan-2-yl)-7-[(2-(Aminocarbonyl)-4-fluorobenzyl] amino] carbonyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydromy-1,6-naphthyridine sodium salt 606080-33-5P, 7-[[2-(Aminocarbonyl)-4-fluorobenzyl] amino] carbonyl] amino] carbonyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydromy-1,6-naphthyridine sodium salt 606080-33-5P, 7-[[2-(aminocarbonyl)-4-fluorobenzyl] amino] carbonyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydromy-N-[2-(methylamino) carbonyl) amino]-8-hydromy-1,6-naphthyridine sodium salt 606080-33-5P, 5-[(-1,1-Dioxido-1,2-thiazinan-2-yl)-8-hydromy-N-[2-(methylamino) carbonyl) amino]-8-hydromy-1,6-naphthyridine sodium salt 606080-33-5P, 5-[(-1,1-Dioxido-1,2-thiazinan-2-yl)-8-hydromy-N-[2-(methyla

ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN

606139-07-5 CAPLUS
1,6-Maphthyridine-7-carboxamide, N-[[2-[(ethylamino)carbonyl]-4-fluorophenyl]methyl]-8-hydroxy-5-[methyl(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)

606139-18-8 CAPLUS
1,6-Naphthyridine-7-carboxamide, 5-(1,1-dioxido-2-isothiazolidinyl)-N-[[4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]-8-hydroxy- (9CI) (CA INDEX

carboxamide
RL: PAC (Pharmacological activity): SPN (Synthetic preparation): THU
(Therapeutic use): BIOL (Biological study): PREP (Preparation): USES
(Uses)
(HIV integrase inhibitor: prepn. of naphthyridinecarboxamides as HIV
integrase inhibitors via acylation)
600800-26-6 CAPLUS
1,6-Maphthyridine-T-carboxamide. N-[[4-fluoro-Z[[methylamio]carbonyl]phenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-ZH-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)

• Na

606080-27-7 CAPLUS
1,6-Maphthyridine-7-carboxamide, N-[{2-{(dimethylamino)carbonyl}-4-fluorophenyl]methyl}-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)

ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

• Na

606080-30-2 CAPLUS
1,6-Maphthyridine-7-carboxamide, N-[[4-fluoro-2-[{[1-methylethyl]amino]carbonyl]phenyl]methyl}-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-y1)-, monosodium salt (9CI) (CA INDEX NAME)

606080-31-3 CAPLUS
1,6-Naphthyridine-7-carboxamide, N-[[2-[(ethylamino)carbonyl]-4-fluorophenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

• Na

606080-28-8 CAPLUS
1,6-Maphthyridine-7-carboxamide, N-{{2-{(dimethylamino)carbonyl}-4-fluorophenyl]methyl]-8-hydroxy-5-(methyl(methylsulfonyl)amino}-, monosodium salt {9Cl} (CA INDEX NAME)

Na

606080-29-9 CAPLUS
1,6-Maphthytidine-7-carboxamide, N-[[4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]-8-hydroxy-5[methyl(methylsulfonyl)amino]-, monosodium salt (9CI) (CA INDEX NAME)

ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN

606080-32-4 CAPLUS
1,6-Naphthycidine-7-carboxamide, N-{[2-(aminocarbonyl)-4-fluorophenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)

606080-33-5 CAPLUS
1,6-Maphthytidine-7-carboxamide, N-[[2-(aninocarbonyl)-4-fluorophenyl]methyl)-8-hydroxy-5-[methyl(methylsulfonyl)amino]-, monosodium salt (9C1) (CA INDEX NAME)

● Na

RN 606080-34-6 CAPLUS
CN 1.6-Naphthyridine-7-carboxamide, 8-hydroxy-N-[[2[(methylamino)carbonyl]phenyl]methyl]-5-(tetrahydro-1,1-dioxido-2H-1,2thiazin-2-yl)- (9CI) (CA INDEX NAME)

RN 606080-35-7 CAPLUS

(N 1,6-Maphthyridine-7-carboxamide, 5-[(ethylsulfonyl)methylamino]-N-[[4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]-8-hydroxy-, monosodium salt (SCI) (CA INDEX NAME)

L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

• к

RN 606080-71-1 CAPLUS

(N 1,6-Maphthyridine-7-carboxamide, N-[[4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]-8-hydroxy-5-[methyl(methylsulfonyl)amino]- (9C1) (CA INDEX NAME)

RN 606080-72-2 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[[2-[(dimethylamino)carbonyl]-4-fluorophenyl]aethyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

• Na

RN 606080-36-8 CAPLUS
CN 1,6-Waphthyridine-7-carboxamide, N-[{4-fluoro-2[{methylamino|oarbonyl]phenyl]methyl]-8-hydroxy-5-(tetrahydro-6-methyl-1,1dioxido-2H-1,2,6-thiadiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)

● Na

RN 606080-37-9 CAPLUS
CN 1,6-Naphthyridina-7-carboxamide, N-[[4-fluoro-2[(methylamino)carbonyl]phenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido2H-1,2-thiazin-2-yl)-, monopotassium salt (9CI) (CA INDEX NAME)

LS ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 606138-73-2 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[[2-{2-(dimethylamino)-2oxoethyl]phenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin2-yl)- (9CI) (CA INDEX NAME)

RN 606138-74-3 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-[[2-[2-(methylamino)-2-oxoethyl]phenyl]methyl]-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-(9C1) (CA INDEX NAME)

ANSVER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued) 606138-75-4 CAPLUS 1,6-Naphthyridine-5,7-dicarboxamide, N7-[[2-([dimethylamino]carbony1]-4-[lucropheny1]methyl]-8-hydroxy-N5,N5-dimethyl-, monosodium salt (9CI) (CA

• Na

606138-76-5 CAPLUS
1,6-Maphthyridine-7-carboxamide, N-[[4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]-8-hydroxy- (9CI) (CA INDEX NAME)

606138-77-6 CAPLUS
1,6-Naphthyridine-5,7-dicarboxamide, N7-[[4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]-8-hydroxy-N5,N5-dimethyl-,monosodium salt (9C1) (CA INDEX NAME)

L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

• Na

606138-80-1 CAPLUS
1,6-Naphthyridine-7-carboxamide, 8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-y1)-N-[[2-(1H-1,2,4-triazol-1-y1)phenyl]methyl]- (9CI) (CA INDEX NAME)

606138-81-2 CAPLUS
1.6-Naphthyridine-7-carboxamide, 8-hydroxy-5-(tetrahydro-1,1-dioxido-ZH-1,2-thiazin-2-yl)-N-[[2-(1H-tetrazol-1-yl)phenyl]methyl]- (9CI) (CA INDEX NAME)

ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Na

606138-78-7 CAPLUS
1,6-Maphthyridine-7-carboxamide, N-[{4-fluoro-2-[(propylamino)carbonyl]phenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)

• Na

606138-79-8 CAPLUS
1,6-Naphthyridine-7-carboxamide, N-[[2-[[ethylamino]carbonyl]-4-floorophenyl]methyl]-8-hydroxy-5-[methyl(methylsulfonyl)amino]-, monosodium salt (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

606138-82-3 CAPLUS Ethanedismide, [7-[[[4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]amin o|carbonyl]-8-hydroxy-1,6-naphthycidin-5-yl]trimethyl-, monosodium salt (9CI) (CA INDEX NAME)

● Na

606138-83-4 CAPLUS
1.6-Maphthytidine-7-carboxamide, N-[{4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]-8-hydroxy-5-[(1R,45)-3-oxo-2-azabicyclo[2.2.1]hept-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 606138-84-5 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[[4-fluoro-2-[[methylamino] carbonyl]phenyl]methyl]-8-hydroxy-5-[[15,4R]-3-oxo-2-azabicyclo[2.2.1]hept-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 606138-85-6 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[[2-[(cyclopropylamino)carbony1]-4-fluorophenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

• Na

RN 606138-88-9 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 5-(1,1-dioxido-2-isothiazolidinyl)-N-[[4-fluoro-2-[(sethylamino)carbonyl]phenyl]methyl]-8-hydroxy-, monosodium salt (9CI) (CA INDEX NAME)

● Na

RN 606138-89-0 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[[2-{(dimethylamino)carbonyl}-4-fluorophenyl]methyl]-8-hydroxy-5-(tetrahydro-6-methyl-1,1-dioxido-2H-1,2,6-thiadiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 606138-86-7 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[[2-[(dimethylamino)carbony1]-4-fluoropheny1]methyl]-5-[(ethylsulfony1)methylamino]-8-hydroxy- (9CI) (CA INDEX NAME)

RN 606138-87-8 CAPLUS
CN 1.6-Naphthyridine-7-carboxamide, N-[[4-fluoro-2-(4-morpholinylcarbonyl]phenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

● Na

6 606138-90-3 CAPLUS
6 1,6-Naphthyridine-7-carboxamide, N-[{2-(acetylamino)-4fluorophenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2yl)- (9CI) (CA INDEX NAME)

RN 606138-91-4 CAPLUS
CN 1,6-Maphthyridine-7-carboxamide, N-[{4-fluoro-2-(2-oxo-1-pyrrolidinyl)phenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9C1) (CA INDEX NAME)

RN 606139-03-1 CAPLUS
CN 1,6-Maphthyridine-5,7-dicarboxamide, N7-[[4-fluoro-2[(methylamino)carbonyl]phenyl]methyl]-8-hydroxy-N5,N5-dimethyl- (9CI) (CA
INDEX NAME)

RN 606139-22-4 CAPLUS
CN 1,6-Naphthyridine-5,7-dicarboxamide, N7-[[2-[(dimethylamino)carbonyl]-4-fluorophenyl]methyl]-8-hydroxy-N5,N5-dimethyl- (9CI) (CA INDEX NAME)

RN 606139-23-5 CAPLUS

L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 606139-27-9 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[[2-[(dimethylamino)carbonyl]-4-fluorophenyl]methyl]-5-[ethyl(methylsulfonyl)amino]-8-hydroxy-[9CI) (CA INDEX NAME)

RN 606139-28-0 CAPLUS CN 1,6-Naphthyridine-7-carboxamide, 5-[ethyl(methylsulfonyl)amino]-N-[[4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]-8-hydroxy- (9CI) (CA INDEX NAME)

RN 606139-29-1 CAPLUS
CN 1.6-Maphthyridine-7-carboxamide, N-[[2-[(dimethylamino)carbonyl]phenyl]met hyll-8-hydroxy-5-[methyl[methyl]sulfonyl]maino]- (9C1) (CA INDEX NAME)

L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN 1,6-Naphthyridine-7-carboxamide, N-[[2-[2-[dimethylamino]-2-oxoethyl]-4-fluorophenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9C1) (CA INDEX NAME)

RN 606139-24-6 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[[4-fluoro-2-{2-(methylamino)-2-oxoethyl]phenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)

RN 606139-25-7 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[[2-[(dimethylamino)carbonyl]-4-fluorophenyl]methyl]-8-hydroxy- (9CI) (CA INDEX NAME)

LS ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 606139-30-4 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 0-hydroxy-N-[[2[(methylamino)carbonyl]phenyl]methyl]-5-[methyl(methylsulfonyl)amino](9CI) (CA INDEX NAME)

N 606139-31-5 CAPLUS
N 1,6-Naphthyridine-7-carboxamide, N-[[2-[(dimethylamino)carbonyl]phenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CAINDEX NAME)

RN 606139-32-6 CAPLUS

ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued) 1,6-Maphthyridine-5,7-dicarboxamide, N7-[(4-fluoro-2-[(methylamino)carbonyl)phenyl]methyl-8-hydroxy-N5-methyl-N5-(1-methylethyl)-(9C1) (CA INDEX NAME)

606139-33-7 CAPLUS Ethanediamide, [7-[{[[4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]amin o)carbonyl]-8-hydroxy-1,6-naphthyridin-5-yl]trimethyl- (9CI) (CA INDEX NAME)

606139-34-8 CAPLUS
1,6-Naphthytidine-7-carboxamide, 5-(acetylmethylamino)-N-[[4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]-8-hydroxy- (9CI) (CA INDEX NAME)

ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

606138-98-1 CAPLUS
1,6-Naphthyridine-7-carboxamide, 5-bromo-N-[{4-fluoro-2-(methylamh.op)carboxyl]phenyl]methyl]-8-[(4-methylphenyl)sulfonyl]oxy]-(9CI) (CA INDEX NAME)

606139-00-8 CAPLUS
1,6-Naphthyridine-5-carboxylic acid, 7-[[[(4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]amino]carbonyl]-8-[[(4-methylphenyl)sulfonyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

606138-93-6 CAPLUS Benzeneacetic acid, 2-[[[[8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-1,6-naphthyridin-7-yl]carbonyl]amino]methyl]- (9CI) (CA INDEX NAME)

ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

606139-01-9 CAPLUS
1,6-Naphthyridine-5-carboxylic acid, 7-[[[4-fluoro-2[(methylamino)carbonyl]phenyl]methyl]amino]carbonyl]-8-hydroxy- (9CI) (CA

606139-06-4 CAPLUS
1,6-Naphthyridine-7-carboxamide, N-[[4-fluoro-2-[(pcopylamio)]arbonyl]phenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)

606139-09-7 CAPLUS
1,6-Naphthyridine-7-carboxamide, N-{{4-fluoro-2[(methylamino)carbonyl]phenyl]methyl]-8-hydroxy-5-(methylamino)- (9CI)
(CA INDEX NAME)

606139-10-0 CAPLUS Ethanedicic acid, 7-[[[[4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]amino]carbonyl]-5-[(methoxyoxoacetyl)methylamino]-1,6-naphthyridin-8-ylmethyl ester (9CI) (CA INDEX NAME)

606139-13-3 CAPLUS
Benzoic acid, 5-fluoro-2-[[[[8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-1,6-naphthyridin-7-yl]carbonyl}amino]methyl]-, methyl ester
(9C1) (CA INDEX NAME)

ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

606139-14-4 CAPLUS
Benzoic acid, 5-fluoro-2-[[[[8-hydroxy-5-[tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-1,6-naphthyridin-7-yl]carbonyl]amino]methyl]- [9CI] (CA INDEX NAME)

606139-15-5 CAPLUS
1,6-Naphthytidine-7-carboxamide, N-[{4-fluoro-2-{4-morpholinyllarbonyl]phenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)

ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

606139-21-3 CAPLUS
1,6-Naphthyridine-7-carboxamide, N-[(2-amino-4-fluorophenyl)methyl]-8hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)

606138-99-2, 5-Bromo-N-[4-fluoro-2-[(methylamino)carbonyl]benzyl]8-hydroxy-1,6-naphthyridine-7-carboxamide
RL: RCT (Reactant): RACT (Reactant) or reagent)
(prepn. of naphthyridinecarboxamides as HIV integrase inhibitors via acylation)
606138-99-2 CAPIUS
1,6-Naphthyridine-7-carboxamide, 5-bromo-N-[[4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]-8-hydroxy- (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN ACCESSION NUMBER: 2003:757471 CAPLUS DOCUMENT NUMBER: 139:276878

139:276878
Preparation of N-(substituted benzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamides useful as HIV integrase inhibitors for treatment of HIV infection/AIDS Egbettson, Helissar Langford, H. Marier Helamed, Jeffrey Y. Wai, John S., Han, Weir Perlow, Debbie S., Zhuang, Linghangr Embrey, Mark Merck & Co., Inc., USA PCT Int. Appl., 114 pp. CODEN: PIXXD2
Patent
Enclish

PATENT ASSIGNEE(S): SOURCE:

TITLE: INVENTOR(S):

DOCUMENT TYPE: English 2

ANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA	PATENT NO.					DATE						DATE					
WO	2003077850			A2 2003093			0925		WO 2003-US744				8	2003	0312		
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		co,	CR,	cu,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ĸR,	ΚZ,	LC,	LK,	LR,	LS,
		LT.	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,	PH,
		PL.	PT.	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
		UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW,	AM,	AZ,	BY,	KG,	ΚZ,	MD,
		RU,	TJ.	TM													
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	52,	TZ,	UG,	ZM,	ZW,	AT,	BE,	BG,
						DK,											
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		GW.	ML.	MR.	NE.	SN,	TD.	ŤG									
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

RICTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
Title compds. I (wherein R1 = H or F; R2 = carbamoylalkyl, carbamoyl,
triazolyl or tetrazolyl, acylamino and derivs., 2-oxopytrolidin-1-yl and
analogs, (cyclo)alkoxycarbonyl, COY; Y = azetidinyl, pyrrolidinyl,
piperidinyl, morpholinor R3 = H, carbamoyl and derivs., acylamino,
carbamoyl(alkyl/machylthioxy/methyloxy/amino/alkylamino/alkenyl),
(un)substituted 5- to 7-membered satd, heterocyclic ting conts, 1 to 4
heteroatoms (N, O or 5), (un)substituted 7- to 9-bridged azabicycloalkyl
satd. ring; or their pharmaceutical acceptable salts] were prepd. as
HIV-integrame inhibitors for preventing and treating infection by HIV and
for preventing, treating or delaying the onset of AIDS. For example,
II.bul.Na was prepd. via TEA-acylation of III.bul.HC1 (prepn. glven) with
5-(1,1-dioxo-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxylic
acid (IV) in DMF at room temp. overnight, followed by sodium salt
formation by reaction with NaOM at room temp. for 30 min. IV was prepd.
from 8-hydroxy-1,6-naphthyridine-7-carboxylic acid Me ester in 5 steps by
NBS-bromination in CHC13, 0-tosylation in CHC13, condensation of the
Cu2O/2,2'-bipyridyl at 120.degree. for 4 h, deprotection of tosyl group,
and base-catalyzed hydrolysis in MeOH overnight at 60.degree. Selected
invention compds. inhibited the strand transfer activity of HIV integrase
with ICSO < 0.5 .mu.M. The same compds. inhibited the replication of HIV

- ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued) in T-lymphoid cells with IC95 < 5 .mu.M. The compds. and their salts can be employed as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics or
- be employed as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antiblotics or vaccines.

 605080-42-69, N-[4-Fluoro-2-[(methylamino)carbonyl]benzyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide

 605080-55-89, N-[2-[(lo]methylamino)carbonyl]4-f-[luorobaryl]-5[methyl[methylsulfonyl]amino]-8-hydroxy-1,6-naphthyridine-7-carboxamide

 605080-55-19, N-[4-Fluoro-2-[(ioporpylamino]carbonyl]benzyl]-5[1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide

 605080-58-9-8, N-[4-Fluoro-2-[(ioporpylamino]arbonyl]benzyl]-5[1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide

 605080-63-99, N-[4-Fluoro-2-[(maino)carbonyl]benzyl]-5[methyl(methylsulfonyl) amino]-8-hydroxy-1,6-naphthyridine-7-carboxamide

 605080-63-99, N-[4-Fluoro-2-[(methylamino]carbonyl]benzyl]-5[(ethylsulfonyl) (methyl) amino]-8-hydroxy-1,6-naphthyridine-7-carboxamide

 605080-70-99, N-[4-Fluoro-2-[(methylamino]carbonyl]benzyl]-5[(ethylsulfonyl) (methyl) amino]-8-hydroxy-1,6-naphthyridine-7-carboxamide

 605080-70-99, N-[4-Fluoro-2-[(methylamino]carbonyl]benzyl]-5-(6-methyl-1,1-dioxido-1,2-6-thiadiarinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide

 605080-70-99, N-[4-Fluoro-2-[(methylamino]carbonyl]benzyl]-6-(6-methyl-1,1-dioxido-1,2-6-thiadiarinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide

 605080-70-99, N-[4-Fluoro-2-[(methylamino]carbonyl]benzyl]-6-(6-methyl-1,1-dioxido-1,2-6-thiadiarinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide

 605080-70-99, N-[4-Fluoro-2-[(methylamino]carbonyl]benzyl]-6-(6-methyl-1,1-dioxido-1,2-6-thiadiarinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide

 605080-70-99, N-[4-Fluoro-2-[(methylamino]carbonyl]benzyl]-6-(6-methyl-1,1-dioxido-1,2-6-thiadiarinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide

 605080-70-99, N-[4-Fluoro-2-[(methylamino]carbonyl]benzyl]-6-(6-methyl-1,1-dioxido-1,2-6-thiadiarinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide

 605080-70-99, N-[4-Fluoro-2-[(me

- 606080-52-8 CAPLUS
 1,6-Naphthyridine-7-carboxamide, N-[[2-[(dimethylamino)carbonyl]-4-fluorophenyl]methyl]-8-hydroxy-5-[methyl(methylaulfonyl)amino]- (9CI) (CA INDEX NAME)
- ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN

- 606080-62-0 CAPLUS
 1,6-Naphthyridine-7-carboxamide, N-[[2-(aminocarbonyl)-4-fluorophenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)

- 606080-63-1 CAPLUS
 1,6-Naphthyridine-7-carboxamide, N-[[2-(aminocarbonyl)-4-fluorophenyl]methyl]-8-hydroxy-5-[methyl(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \overset{\circ}{\text{C}} \\ \overset{\circ}{\text{C}} \\ \overset{\circ}{\text{CH}_2} \\ \overset{\circ}{\text{NH}} \\ \overset{\circ}{\text{CH}_2} \\ \overset{\circ}{\text{NH}} \\ \overset{\circ}{\text{NH}} \\ \overset{\circ}{\text{OH}} \\ \overset{\circ}{\text{NH}} \\ \overset{\overset{\circ}{\text{NH}} \\ \overset{\circ}{\text{NH}} \\ \overset{\overset{\circ}{\text{NH}} \\ \overset{\circ}{\text{NH}} \\ \overset{\overset{\circ}{\text{NH}} \\ \overset{\overset{\circ}{\text{NH}} \\ \overset{\overset{\overset{\circ}{\text{NH}} }} \\ \overset{\overset{\overset{\overset{\circ}{\text{NH}} }} \\ \overset{\overset{\overset{\overset{\overset{\overset{\overset{\overset{\overset{\overset{\overset{\overset{\overset$$

- RN 606080-67-5 CAPLUS
- Page 11

- 606080-55-1 CAPLUS
 1,6-Naphthyridine-7-carboxamide, N-[[4-fluoro-2-[[(1-mathylethyl)amino]carbonyl]phenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-ZH-1,2-thiazin-2-y1)- (9CI) (CA INDEX NAME)

- 606080-58-4 CAPLUS
 1,6-Naphthyridine-7-carboxamide, N-[{2-[(ethylamino)carbonyl]-4-fluorophenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)

ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
1,6-Naphthyridine-7-carboxamide, 5-[(ethylsulfonyl)methylamino]-N-[(4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]-8-hydroxy- (9CI) (CA INDEX

- 606080-70-0 CAPLUS
 1,6-Naphthyridine-7-carboxamide, N-[[4-fluoro-2-[[methylamino]carbonyl]phenyl]methyl]-8-hydroxy-5-(tetrahydro-6-methyl-1,1-dioxido-2H-1,2,6-thiadiazin-2-yl)- (9CI) (CA INDEX NAME)

- 606080-26-6P, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-7-[[4-fluoro-2-[(methylamino)carbonyl]benzyl]amino]carbonyl]-8-hydroxy-1,6-naphthyridine sodium salt 606080-27-7P, 7-[{[2-([0imethylamino)carbonyl]-4-[luorobenzyl]amino]carbonyl]-4-[.1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine sodium salt 606080-28-8P, 7-[[2-([0imethylamino)carbonyl]-5-[methyllamino]carbonyl]-5-[methyllamino]carbonyl]-5-[methyllamino]carbonyl]-5-[methyllamino]carbonyl]benzyl]amino]carbonyl]-5-[methyllamino]carbonyl]benzyl]amino]carbonyl]-5-[methyllamino]carbonyl]-5-[methyllamino]carbonyl]benzyl]amino]carbonyl]-6-naphthyridine sodium salt 606080-39-2P, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-7-[[(2-([ethylamino]carbonyl]-8-hydroxy-1,6-naphthyridine sodium salt 606080-33-3P, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-7-[(2-([ethylamino]carbonyl]-4-fluorobenzyl]amino]carbonyl]-8-hydroxy-1,6-naphthyridine sodium salt 606080-33-4P, 7-[([2-([ethylamino]carbonyl]-4-fluorobenzyl]amino]carbonyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine sodium salt 606080-33-5P, 7-[[[2-

ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(Aminocarbonyl)-4-fluorobenzyl]amino]carbonyl]-5[methyl (methylsulfonyl)amino]-8-hydroxy-1,6-naphthyridine sodium salt
605080-24-6P,5-(1,1-bloxido-1,2-thiazinan-2-yl)-8-hydroxy-N-[2[(methylamino)carbonyl]benzyl]-1,6-naphthyridine-7-carboxamide
605080-35-7P,5-[(Ethylsulfonyl)(methyl)amino]-7-[[(4-fluoro-2((methylamino)carbonyl)benzyl]amino]carbonyl]-8-hydroxy-1,6-naphthyridine
sodium salt 605080-36-8P,7-[([2-(Methylamino)carbonyl)-4fluorobenzyl]amino]carbonyl]-6-[6-methyl-1,1-dioxido-1,2-thiadiazinan-2yl)-8-hydroxy-1,6-naphthyridine sodium salt 605080-37-9P,
5-(1,1-Dioxido-1,2-thiazinan-2-yl)-7-[[(4-fluoro-2[(methylamino)carbonyl]benzyl]amino]carbonyl]-8-hydroxy-1,6-naphthyridine
potassium salt 605080-71-1P, N-[4-Fluoro-2[(methylamino)carbonyl]benzyl]-5-[methyl(methylsulfonyl)amino]-8-hydroxy1,6-naphthyridine-7-carboxamide 605080-72-2P,
N-[2-([Oimethylamino)carbonyl]-4-fluorobenzyl]-5-(1,1-dioxido-1,2thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide
RL: PAC (Pharmacological activity) SPN (Synthetic preparation); TRU
(Therapeutic use); BIOL (Biological study), PREP (Preparation); USES
(Uses)
(HIV integrase inhibitor; prepn. of naphthyridinecarboxamides as HIV
integrase inhibitors via acylation)
605080-26-6 CAPLUS
1,6-Naphthyridine-7-carboxamide, N-[[4-fluoro-2[(methylamino)carbonyl]phenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)

606080-27-7 CAPLUS
1,6-Maphthyridine-7-carboxamide, N-[[2-[(dimethylamino)carbonyl]-4-fluorophenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)

ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN

• Na

606080-30-2 CAPLUS
1,6-Maphthycidine-7-carboxamide, N-[(4-fluoro-2-[[(1-methylethyl)amino]carbonyl]phenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)

606080-31-3 CAPLUS
1,6-Maphthyridine-7-carboxamide, N-[[2-[(ethylamino)carbonyl]-4-fluorophenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)

ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

• Na

606080-28-8 CAPLUS
1,6-Maphthytidine-7-carboxamide, N-[[2-[(dimethylamino)carbonyl]-4-fluorophenyl]methyl]-8-hydroxy-5-[methyl(methylsulfonyl)amino]-, monosodium salt (SCI) (CA INDEX NAME)

606080-29-9 CAPLUS
1,6-Maphthytidine-7-carboxamide, N-[{4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]-8-hydroxy-5-[methyl(methylsulfonyl)amino]-, monosodium salt (9CI) (CA INDEX NAME)

ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

• Na

606080-32-4 CAPLUS
1,6-Maphthyridine-7-carboxamide, N-[{2-(aminocarbonyl)-4-fluorophenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)

Na

606080-33-5 CAPLUS
1,6-Maphthytidine-7-carboxamide, N-[[2-{aminocarbonyl}-4-fluorophenyl]methyl]-8-hydroxy-5-[methyl(methylsulfonyl)amino]-, monosodium salt (SCI) (CA INDEX NAME)

• Na

RN 606080-34-6 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide,8-hydroxy-N-{[2-{(methylamino)carbonyl]phenyl]methyl]-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)

RN 606080-35-7 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 5-[(ethylsulfonyl)methylamino]-N-[[4-fluoro-2-[(methylamino)arbonyl]phenyl]methyl]-8-hydroxy-, monosodium salt (9Cl) (CA INDEX NAME)

L5 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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RN 606080-71-1 CAPLUS
(1,6-Naphthyridine-7-carboxamide, N-[[4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]-8-hydroxy-5-[methyl(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)

RN 606080-72-2 CAPLUS
CN 1,6-Maphthyridine-7-carboxamide, N-[[2-[(dimethylamino)carbonyl]-4-fluorophenyl]methyl)-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (SCI) (CA INDEX NAME)

LS ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

● Na

RN 606080-36-8 CAPLUS
CN 1.6-Naphthyridine-7-carboxamide, N-[[4-fluoro-2[(methylamino)carbonyl]phenyl]methyl]-8-hydroxy-5-(tetrahydro-6-methyl-1,1dioxido-2H-1,2,6-thiadiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)

● Na

RN 606080-37-9 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[[4-fluoro-2[(methylamino)carbonyl]phenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido2H-1,2-thiazin-2-yl)-, monopotassium salt (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued

L5 ANSVER 3 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN ACCESSION NUMBER: 2003:154434 CAPLUS DOCUMENT NUMBER: 138:205068 TITLE: Process for the preparation of

138:205068

Process for the preparation of a Na salt of a
5-(dioxidothiazinanyl)naphthyridine-7-carboxamide HIV

5-(dioxidothazinanyi) naphriyridine-7-carboxami integrase inhibitor
Anthony, Neville J.; Xu, Wei; Lepore, John V.;
Mahajan, Amar J.
Merck & Co., Inc., USA
PCT Int. Appl., 40 pp.
CODEN: PIXXO2
Patent INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

English

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

NE, SN, TI US 2003119823 PRIORITY APPLN. INFO.: US 2002-218537 20020814 US 2001-313373P P 20010817

The cryst. sodium salt I.bul.Na was prepd. as an HIV integrase inhibitor for preventing or treating HIV infection, for delaying the onset of AIDS, and for treating AIDS (no data). I.bul.Na exhibited superior oral bioavailability and improved pharmacokinetics (e.g., improved Cmax and AUC) in rats and dogs relative to amorphous and cryst. I (no data). For example, 5-bromo-0-(p-toluenesulfonyloxy)-1,6-naphthyridine-7-carboxylic

ANSWER 3 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued) 1.6-Maphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)

411233-43-7 CAPLUS
1.6-Maphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, compd. with ethanol (1:1)
(9CI) (CA INDEX NAME)

CM 1

CRN 410544-95-5 CMF C20 H19 F N4 O4 S

2 СM

CRN 64-17-5 CMF C2 H6 O

Н3С-СН2-ОН

REFERENCE COUNT: THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSVER 3 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued) acid Me ester was coupled with 1,4-butane sultam (prepn. of starting materials given) in the presence of Cu20 and 2,2'-bipyridyl in DMF (78%). Deprotection of the alc. with NaOMe in MeOH (97%), followed by amidation with 4-fluorobenzylamine in EtoH gave I.bul. EtoH (94%). The cryst Na salt of 5-(1,1-dloxido-1,2-thiazinan-2-y1)-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide (I.bul.Na) was formed by treating the monoethonalate with 5M NaOH in a mixt. of EtOH and H2O. I.bul.Na was analyzed by differential scanning calcrimetry at a heating rate of 10.degree. C/min in an open cup under flowing nitrogen and was found to have a DSC curve exhibiting an endotherm with a peak temp. of about 348.degree. and an assocd. heat of fusion of about 45 J/gm followed by an exotherm with a peak temp. of about 352.degree. and an assocd. heat of fusion of about 45 J/gm. The X-ray powder diffraction pattern of the Na salt was also generated. 410345-86-7P, S-(1,1-Dioxido-1,2-thiazinan-2-y1)-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide sodium salt RL: INF (Industrial manufacture): PAC (Pharmacological activity): PEP (Physical, engineering or chemical process): PYP (Physical process): THU (Therapeulcius): BIOL (Biological study): PREP (Peparation): PROC (Process): USES (Uses)

(HIV integrase inhibitor; prepn. of the Na of a (dioxidothiazinamyl) naphthyridinecarboxamide HIV integrase inhibitor for treatment of AIDS)

410545-86-7 CAPLUS

1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)

Na

410544-95-5P, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide
41123-43-7P, 5-(N-1,4-Butanesultam)-N-(4-fluorobenzyl)-8-hydroxy1,6-naphthyridine-7-carboxamide monocthanolate
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate: prepn. of the Na of a (dioxidothiazinanyl) naphthyridinec arboxamide HIV integrase inhibitor for treatment of AIDS)
410544-95-5 CAPLUS

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:
DOCUMENT NUMBER:
138:205040
Process for preparing 5-sulfonamido-8-hydroxy-1,6naphthyridine-7-carboxamides, useful as HIV integrase
inhibitors, by condensation of sulfonamides with
5-halo-8-(protected-hydroxy)naphthyridines in the
presence of copper promoters and copper-chelating
agents

INVENTOR(S):
Maligres, Peter E., Askin, David
Marck & Co., Inc., USA
POCUMENT TYPE:
PATENT INFORMATION:
English
FAMILY ACC. NORM. COURT:

PATENT INFORMATION:

1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT	PATENT NO. KI							APPLICATION NO. DATE											
								-											
WO 200	WO 2003016309			1	20030227			W	20	02-U	S271	51	1 20020813						
¥:	AE,	AG.	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,			
	co,	CR.	CU.	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,			
	GM.	HR.	HU.	ID.	IL,	IN.	IS.	JP.	KE.	KG.	KR.	KZ.	LC.	LK.	LR,	LS,			
					MD,														
					SE,														
					VN,														
		TM											-						
nu.	: GH,		KE.	LS.	MW.	MZ.	SD.	SL.	SZ.	T2.	UG.	ZM.	ZW.	AT.	BE.	BG.			
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PRIORITY AF				· US 2001-313376P P 20010817															
	OTHER SOURCE(S):						CASREACT 138:205040; MARPAT 138:205040												

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

RECTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLIME PRINT *

An improved prepn. of 5-sulfonamido-8-hydroxy-1,6-naphthyridine-7carboxamides I is disclosed (wherein: A = Ph nucleus or carbocycle-fused
Ph nucleus: L = bond, C1-6 alkylene, C2-6 alkenylene, (C0-6
alkylene): (C3-6 cycloalkylene): C(C0-6 alkylene): Z1 = H, (un) substituted
alkyl, OH, halo, NO2, cyano, CO2H or certain derivs., etc.: n = 0-5: Z2 =
H, aryl, aryloxy, aralkyl, aralkoxy, heteroarylox, etc.: n = 0-5: Z2 =
H, aryl, aryloxy, aralkyl, aralkoxy, heteroarylox, etc.: n = 0-2: Z1 =
H, R2, R3 = H, (un)substituted alkyl or alkoxy, OH, halo, NO2,
cyano, (hetero)aryl(oxy), etc.: R4 = H, (un)substituted alkyl or aryl; R5
- (un)substituted alkyl) or aryl; or R4R5 = atoms to form certain sultams;
R6 = H, (un)substituted alkyl). Compds: I are known inhibitors of HIV
integrase, and are useful for treating HIV infection, preventing HIV
infection, delaying the onest of AIDS, and treating AIDS. Unspecified
inhibition assay, and inhibited acute HIV infection of T-lymphoid cells
with IC95 < 20 mm. Mi n another assay (no addhi. data). In the key step,
a 5-halo-8-hydroxy-1,6-naphthyridine-7-carboxylic acid or acid ester. in
which the hydroxy is derivatized with a protecting group, is reacted with
a sulfonamide (e.g., an alkanesulfonamide, an N-alkyl alkanesulfonamide,
or an alkanesultam) in the presence of a copper promoter and a chelating

ANSWER 4 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued) agent, followed by deprotection of the hydroxy group, and then amidation with an amine to obtain 1. Alternatively, the hydroxy-protected 5-halo-8-hydroxy-1,6-naphthyridine-7-carboxylic acid (or ester) is first coupled with an amine, and the resulting carboxamide then reacts with a sulfonamide, followed by deprotection of the hydroxy group, to obtain 1. For instance, 8-hydroxy-1,6-naphthyridine-7-carboxylic acid Me ester undervent NBS bromination in the 5-position (93%), followed by O-tosylation of the 8-OH group with p-MecGM4SO2Cl and ELDM (97%). Coupling of the resultant 5-bromo-8-(p-toluensulfonyloxy)-1,6-naphthyridine-7-carboxylic acid Me ester (II) with 1,4-butanesultam in the presence of Cu20 and 2,2-bipyridyl in degased DMF at 120-degaee, gave product III in 78-83% yield, depending upon workup. Detoxylation of III with NaOMe and MeON in DMF (97%) and amidation of the ester with 4-fluorobenzylamine in ECOH at 75-77.degree. (94%) gave the synthetic target IV as the amon-ECOH solvate. In two comparison runs using Cu20/pyriddine, in which the 8-hydroxy group was not protected as the tosylate ester, coupling yields of only 19% and 42% were obtained. 410544-56-BP, 5-Bromo-N-(4-fluorobenzyl)-8-(p-toluensulfonyloxy)-1,6-naphthyridine-7-carboxamide 410543-81-2P, N-(4-Fluorobenzyl)-8-(hydroxy-1,6-naphthyridine-7-carboxamide 410543-81-2P, N-(4-Fluorobenzyl)-8-(4-toluensulfonyloxy)-1,6-naphthyridine-7-carboxamide 8D0129-83-91-9, 5-(1,1-Dioxidor-1)-2-thizainan-2-yl)-N-(4-fluorobenzyl)-8-(4-toluensulfonyloxy)-1,6-naphthyridine-7-carboxamide 8D0129-84-09.

KIL IMF (Industrial manufacture) RCT (Reactant) SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant) creagent) (intermediate; prepn. of sulfonamidohydroxynaphthyridine-7-carboxamides via coupling of halo(protected-hydroxy) naphthyridines with sulfonamides and sultams using Cu promoters and chelating agents)

410545-81-2 CAPLUS 1,6-Naphthyridine-7-ca (9CI) (CA INDEX NAME) -7-carboxamide, N-((4-fluorophenyl)methyll-8-hydroxy-

ANSWER 4 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RL: INF (Industrial manufacture), PAC (PharmacoLogical activity), SPN
(Synthetic preparation), THU (Therapeutic use), BIOL (Biological study);
PREP (Preparation), USES (Uses)
(product, prepn. of sulfonamidohydroxynaphthyridinecarboxamides via
coupling of halo(protected-hydroxy)naphthyridines with sulfonamides and
sultams using CU promoters and chelating agents)
410544-95-5 CAPLUS
1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)

410545-86-7 CAPLUS
1,6-Maphthyridine-7-carboxamide, N-{{4-fluorophenyl}methyl}-8-hydroxy-5-tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl}-, monosodium salt (9CI) (CA INDEX NAME)

Na

REFERENCE COUNT:

ANSWER 4 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN

S00129-84-0 CAPLUS
1,6-Naphthyridine-7-carboxamide, 5-bromo-N-[(4-fluorophenyl)methyl]-8-[[(4-methylphenyl)aulfonyl)oxy)- (9CI) (CA INDEX NAME)

500129-85-1 CAPLUS
1,6-Maphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-[(4-methylphenyl)sulfonyl]oxy]-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-(9C1) (CA INDEX NAME)

410544-95-5P, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide
410545-86-7P, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide sodium salt

L5 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2003 ACS ON STN ACCESSION NUMBER: 2003:154416 CAPLUS DOCUMENT NUMBER: 138:205067 TITLE: Process for Title:

138:205067
Process for preparing sultams from alkanesulfonyl Process for preparing sultams from alkanesulfonyl halides and haloalkylamines via intramolecular dianion alkylation of N-(haloalkyl) alkanesulfonamides, and application to the preparation of naphthyridinecarboxamides useful as HIV integrase inhibitors.

Lee, Jaemoonr Askin, David; Jensen, Mark S.; Zhong, Yong-Li
Merck & Co., Inc., USA
PCT Int. Appl., 43 pp.
CODEN: PIXXD2
Patent
English
1

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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PATENT NO. KIND DATE

WO 2003016294 A1 20030227 WO 2002-US25666 20020813

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EZ, ES, FI, GB, GD, GE, GH, GH, HH, UI, DI, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, HA, HD, MG, MK, HN, HM, MX, MZ, NO, NZ, OH, PH, PL, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, HD, RU, TJ, TM

RV: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BP, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, DRIORITY APPLIN. INFO:

CASREACT 138:205067; MARPAT 138:205067
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ANSWER 5 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN

A new, superior prepn. of sultams is disclosed. In one embodiment an alkanesulfonyl halide reacts with a haloalkylamine to obtain the corresponding N-(haloalky)lamine such as a substantial corresponding N-(haloalky)lamine such as the presence of a deprotonating agent to give the sultam. The sultams include compds. useful as intermediates in the prepn. of naphthyridinecarboxamide compds. which are HIV integrase inhibitors. In particular, claims cover the prepn. of sultams I by treatment of precursors II with a deprotonating agent in an aprotic solvent [wherein: Y - leaving group without an active proton; Rl = H. C1-6 alkyl.] (un) substituted Ph where substituents are halo or C1-6 alkyl. R2, R3 = H, C1-6 alkyl; m = 0-2]. The method offers high yields in l or 2 steps from relatively simple, com, available starting materials. For instance, a slurry of Br(CH2) JMN2DH2. HBr in ITH # at 0.6egree. was treated simultaneously dropwise with E13N and a soln. of MeSO2C1 in THF at < 10.degree. over 2 h, then warmed to 23.degree. and filtered to give a soln. of Br(CH2) JMN2DH2 in THF. This soln. was treated with 1,10-phenanthroline and iso-Pr2NH, cooled to -30.degree. and treated with 1,10-phenanthroline and iso-Pr2NH. Workup and isolation gave cryst. 1,4-butanesultam (III) in 53% yield on a 1.44 kg scale. Sultam III was coupled with broadle IV in the presence of Cu20 and 2,2'-bipyridyl in 78 yield, followed by detosylation with NaGNE (97%) and amidation (94%) to give the target drug V, isolated as both an EUGN solvate and the Nas salt.
410344-95-9F, S-(1,1-Dioxido-1,2-thiazinan-2-yl)-N-(4-fluorobenyl)-8-hydrosyl-1,6-haphthyridine-7-carboxamide addium salt RI: IMF (Industrial manufacture); SPN (Synthetic preparation), PREP (Preparation)

L5 ANSVER 6 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN ACCESSION NUMBER: 2002:293653 CAPLUS DOCUMENT NUMBER: 136:309919 Preparation of aza- and polyce

INVENTOR(5):

2002:293653 CAPLUS
136:309919
Preparation of aza- and polyaza-naphthalenyl
carboxamides as HIV integrase inhibitors
Anthony, Neville J.; Gomez, Robert P.; Young, Steven
D.; Egbertson, Melissa; Wai, John S.; Zhuang,
Linghang, Embrey, Mark; Tran, Lekhanh; Melamed,
Jeffrey Y.; Langford, H. Marie; Guare, James P.;
Fisher, Thorsten E.; Jolly, Samson M.; Kuo, Michelle
S.; Perlow, Debra S.; Bennett, Jennifer J.; Funk,
Timothy W.
Merck & Co.; Inc., USA
PCT Int. Appl., 97 pp.
CODEN: PIXXO2
Patent
English
2

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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	WO	2002030931			A	3	20021024												
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			co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ	, E	c,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ĮD,	IL,	IN,	IS,	JP	, K	E,	KG,	KR,	KZ,	LC,	LK,	LR,	LS,
			LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN	, M	W,	ΜX,	MZ,	NO,	NZ,	PH,	PL,	PT,
			RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL	, T.	J,	TM,	TR,	TT,	TZ,	UA,	UG,	US,
			UZ,	VN,	YU,	ZA,	ZW,	AM,	ΑZ,	BY	, K	G,	KZ,	MD,	RU,	TJ,	TM		
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL	, 5	z,	TZ,	UG,	ZV,	AT,	BE,	CH,	CY,
			DE,	DX,	ES,	FI,	FR,	GB,	GR,	ΙE	, 1	Т,	LU,	MC,	NL,	PT,	SE,	TR,	BF.
			BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ	, G	W,	ML,	MR,	NE,	SN,	TD,	TG	
	ΑU	2002	0118	74	A	5	2002	0422			AU :	200	2-1	1874		2001	1009		
	EE	2003	0014	5	A		20030616				EE 2003-1		45		20011009				
	US	2003	0550	71	A	1	2003	0320			US :	200	1-9	7385	3	2001	1010		
	NO	2003	0016	72	A		2003	0605			NO :	200	3-1	672		2003	0411		
PRIOR	UT	/ APP	LN.	INFO	. :					US	200	0-2	397	07P	P	2000	1012		
										บร	200	1-2	B16	56P	P	2001	0405		
										WO	200	1-U	1542	564	¥	2001	1009		
OTHE GI	S	DURCE	(5):			MAR	PAT	136:	3099	19									

ANSVER 5 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued) (target drug; prepn. of sultams from alkanesulfonyl halides and haloalkylamines via intramol. diamion alkylation of N-(haloalkyl)alkanesulfonamides, and use in prepn. of naphthyridinecarboxamide HIV integrase inhibitors) 410544-95-5 CAPLUS 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)

410545-86-7 CAPLUS
1,6-Maphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 6 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN

Title compds. I (A = Ph, indanyl, naphthyl, etc; L = single bond, alkyl, etc.; Z1 = N, CQ3; Q2-3 = H, alkyl, fluoroalkyl, alkoxy, halo, CN, etc. or Q2-3 together with the carbon to which they are attached and the fused ring carbon atom attached therebetween form a 5-6-membered monocyclic heterocycle; Q4 = H, alkyl, fluoroalkyl, alkoxy, halo, CN, etc.; R1-2 = H, alkyl, fluoroalkyl, alkoxy, halo, CN, etc.; R1-2 = H, alkyl, fluoroalkyl, alkoxy, halo, CN, etc.; R1-2 = H, alkyl, fluoroalkyl, alkoxy, halo, CN, etc.; R1-2 = H, elverous etc.; R1-2 = H, alkyl, fluoroalkyl, alkoxy, etc.; R5 = H, (un) substituted alkyl, Ph, etc.] were prepd. For instance, the Mitsunobu product of iso-Pr 3- (hydroxymethyl)pyridine-2-carboxylate (MeOH, NaOMe, O.degree, C., 1.5 h). The naphthyridine was converted to the 5-bromo deriv. (CHZCI2, MBS) and the product condensed with 4-fluorobenzylamine to give the corresponding 7-carboxamide. Treatment of this intermediate with 1,4-butanesultam (prepn. given: Pyridine, Cu2O, reflux, 16 h) provided II. The soddium salt of II was characterized by DSC and XRPD and jet-milled to to a particle size of 3 - 5. mm. for use in oral dosage formulations. I are inhibitors of HIV integrase and inhibitors of HIV replication, and are useful in the prevention or treatment of infection by HIV and the treatment of AIDS alone or in combination with other antivirals, immunomodulators, antibiotics or vaccines. Nethods of preventing or treating of delaying the onset of AIDS and methods of preventing or treating infection by HIV are also described.

RIC PAC (Pharmacological activity), RCT (Reactant)**, SPN (Synthetic preparation)**, TRU (Therapeutic use)**, BIOL (Biological study)**, PREP (Preparation)**, PREP (

411233-43-7 CAPLUS
1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, compd. with ethanol (1:1)
(9CI) (CA INDEX NAME)

CM 1

CRN 410544-95-5 CMF C20 H19 F N4 O4 S

CM 2

CRN 64-17-5 CMF C2 H6 O

H3C-CH2-OH

410545-36-7P 410545-56-1P 410545-86-7P 410545-90-3P RL: PAC (Pharmacological activity): SPN (Synthatic preparation): THU (Therapeutic use): BIOL (Biological study): PREP (Preparation): USES

ses) (drug: prepn. of aza- and polyaza-naphthalenyl carboxamides as HIV integrase inhibitors)

ANSWER 6 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

• Na

410545-86-7 CAPLUS
1,6-Maphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)

410545-90-3 CAPLUS Ethanediamide, [7-[[[(4-fluorophenyl)methyl]amino]carbonyl]-8-hydroxy-1,6-naphthyridin-5-yl]trimethyl- (9CI) (CA INDEX NAME)

Page 17

ANSWER 6 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
410545-36-7 CAPLUS
1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5tetrahydro-1,1-dioxido-1,2,5-thiadiazepin-2(3H)-yl)-,
mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 410545-35-6 CMF C20 H20 F N5 O4 S

CH 2

CRN 76-05-1 CMF C2 H F3 02

410545-56-1 CAPLUS
1,6-Naphthyridine-7-carboxamide, N-[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)

L5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

410544-56-8P 410544-68-2P 410545-40-3P
410545-63-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(Intermediate; prepn. of aza- and polyaza-naphthalenyl carboxamides as HIV integrase inhibitors)
410544-56-8 CAPLUS
1,6-Naphthyridine-7-carboxamide, 5-bromo-N-[(4-fluorophenyl)methyl]-8-bydroxy- (9CI) (CA INDEX NAME)

410544-68-2 CAPLUS Ethanediamide, [7-[[[(4-fluorophenyl)methyl]amino]carbonyl]-8-hydroxy-1,6-naphthyridin-5-yl]trimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

• HCl

410545-40-3 CAPLUS
1,2,5-Thiadiazepine-5(2H)-carboxylic acid, 2-[7-[[[(4-fluorophenyl)methyl]amino]carbonyl]-8-hydroxy-1,6-naphthyridin-5-yl]tetrahydro-, 1,1-dimethylethyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)

410545-63-0 CAPLUS
1,6-Maphthyridine-7-carboxamide, N-[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)

410544-67-1 411233-38-0
RL: RCT (Reactant): RACT (Reactant or reagent)
(reactant: prepn. of aza- and polyaza-naphthalenyl carboxamides as HIV
integrase inhibitors)
410544-67-1 CAPUS

110544-67-1 CAPLUS
1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5(methylamino)- (9CI) (CA INDEX NAME)

ANSWER 6 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

411233-38-0 CAPLUS Ethanedioic acid, 5-[[(dimethylamino)oxoacetyl]methylamino]-7-[[[(4-fluorophenyl)methyl]amino]carbonyl]-1,6-naphthyridin-8-yl methyl ester (SCI) (CA INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS ON STN ACCESSION NUMBER: 2002;293652 CAPLUS DOCUMENT NUMBER: 136:325531
TITLE: Prenaration of

INVENTOR(S):

136:325531

Preparation of (poly) azanaphthalenyl carboxamides as HIV integrase inhibitors Anthony, Neville J.; Gomez, Robert P.; Young, Steven D.; Egbertson, Melissa: Wai, John S.; Zhuang, Linghang; Embrey, Markr Tran, Lekhanh; Melamed, Jeffrey Y.; Langford, H. Marier, Guare, James P.; Fisher, Thorsten E.; Jolly, Samson M.; Kuo, Michelle S.; Perlow, Debra S.; Bennett, Jennifer J.; Funk, Timothy W.

Merck & Co., Inc., USA
PCT Int. Appl., 434 pp.

CODEN: PIXKD2
Patent

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE:

Patent English 2

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Title compds., including certain quinoline carboxamide and naphthyridine carboxamide derivs., I [wherein A = (un)substituted Ph or Ph fused to a carbocycle: L = a single bond, or (un)substituted alkyl, alkenyl, alkyl-ycloalkylalkyl, or alkyl-H-alkyl: M = NRa, CCO, or CO2: X = N or CQ1: P = N or CQ2: provided that X and Y are not both N: Z1 = N or CQ3: Z2 = N or CH: Q1-Q4 = independently H, halo, CR, NRICR10, or (un)substituted alkyl, alkoxy, alkenyl, alkynyl, carbamoyl, carboximidamido, amino, etc.; or CQ2Q3: e(un)substituted 5- or 6-membered carbocycle or heterocycle: R1 and R2 = independently H, OH, halo, NO2, CN, or (un)substituted alkyl, alkoxy, amino, sulfonylamino, etc.; R3 and R4 = independently H, halo, CN, NO2, CN, alkenyl, or (un)substituted alkyl, alkoxyl, amino, sulfonylamino, etc.; R5 = H, CN, CN, or (un)substituted alkyl anino, sulfonylamino, etc.; R5 = H, CN, CN, or (un)substituted alkyl or aryl: Ra = independently H or (halo)alkyl; or pharmaceutically acceptable salts thereof) were prepd. I are inhibitors of HIV integrase and inhibitors of HIV replication, and are useful in the prevention or treatment of infection by HIV and the treatment of AIDS, as compds. or pharmaceutically acceptable salts, or as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics, or vaccines. For example, Mitsunobu reaction of iso-Pf 3-(hydroxymethyl)pyridine-2-carboxylate with Me N-[(4-methylphenyl)sulfonyl]glycinate, followed by cyclization in the presence on NaONe, efforded Me 8-hydroxy-1,6-naphthyridine-7-carboxylate. Coupling with 3,5-dichlorobenzylamine in toluene gave II. Representative compds. were assayed for the inhibition of acute HIV infection of T-lymphoid cells and demonstrated ICO5 values of < 20 .mu.M. 410344-69-3P, N-(4-fluorobenzyl)-5-(2,6-dioxohexahydropyrimidin-4-yl)-8-hydroxy[1,6]naphthyridine-7-carboxanide
RL: PAC (Pharmacological activity) PEP (Physical, engineering or chemical process) PFP (Physical process); SNN (Synthetic preparati

410544-73-9 CAPLUS
1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-5-(hexahydro-1,3-dimethyl-2,6-dioxo-4-pyrimidinyl)-8-hydroxy- (9CI) (CA INDEX NAME)

410544-71-7P 410544-72-8P 410544-74-0P 410544-75-1P

410544-75-1P
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN
(Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study);
PREP (Preparation); USES (Uses)
(HIV integrase inhibitor; prepn. of (poly)azanaphthalenyl carboxamides
as HIV integrase inhibitors for treatment of AIDS)
41054-71-7 CAPLUS
1,6-Maphthycidine-7-carboxamide, N-[(4-fluorophenyl)methyl]-5-(hexahydro2,6-dioxo-4-pyrimidinyl)-8-hydroxy-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

410544-75-1 CAPLUS
1,6-Naphthyridine-7-carboxamide, N-[{4-fluorophenyl)methyl]-5-(hexahydro-1,3-dimethyl-2,6-dioxo-4-pyrimidinyl)-8-hydroxy-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

410542-67-5P, N-(3,5-Dichlorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410543-28-1P, 5-Chloro-N-(3,5-dichlorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410543-39-4P,
-5-Bromo-N-(3,5-dichlorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410543-60-1P 410544-55-8P, 5-Bromo-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410544-94-PP,
N-(4-fluorobenzyl)-8-hydroxy-5-(5-oxo-1,4-thiazepan-7-yl)(1,6)naphthyridine-7-carboxamide 410544-95-5P,
-5-(1,1-Dioxido-1,2-thiazinan-2-yl)-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410545-20-9P, N-(4-fluorobenzyl)-8-hydroxy-1,6-hydroxy-1-5-himorpholin-4-yl-1,6-naphthyridine-7-carboxamide 410545-20-9P, N-(4-fluorobenzyl)-5-(1,1-dioxido-1,5,2-dithiazepan-2-yl)-8-hydroxy(1,6)naphthyridine-7-carboxamide 410545-29-PP,
N-(4-fluorobenzyl)-5-(4-(methylsulfonyl)thiomorpholin-2-yl)-8-hydroxy(1,6)naphthyridine-7-carboxamide 410545-69-6PP,
5-(1,1-Dioxido-1,2-thiazinan-2-yl)-N-(4-fluoro-2-(methylsulfonyl)benzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410545-69-6PP,

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN

410544-72-8 CAPLUS
1.6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-5-(hexahydro-2,6-dioxo-4-pyrimidinyl)-8-hydroxy-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

410544-74-0 CAPLUS
1,6-Naphthycidine-7-carboxamide, N-[{4-fluorophenyl)methyl]-5-(hexahydro-1,3-dimethyl-2,6-dioxo-4-pyrimidinyl)-8-hydroxy-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
5-(1,1-Dioxido-1,2-thiazinan-2-y1)-8-hydroxy-N-[2-(methylsulfonyl)benzyl]1,6-naphthyridine-7-carboxamide 410545-78-78,
N-[2-([Dimethylamino)sulfonyl)-4-fluorobenzyl]-5-(1,1-dioxido-1,2-thiazinan-2-y1)-8-hydroxy-1,6-naphthyridine-7-carboxamide
RL: PAC (Pharmacological activity): RCT (Reactant): SFN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological study): PREP (Preparation): RACT (Reactant or reagent): USES (Uses)
(HIV integrase inhibitor: prepn. of (poly)azanaphthalenyl carboxamides
as HIV integrase inhibitors for treatment of AIDS)
1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy(SCI) (CA INDEX NAME)

410543-28-1 CAPLUS
1,6-Naphthyridine-7-carboxamide, 5-chloro-N-[(3,5-dichlorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)

410543-39-4 CAPLUS
1,6-Naphthytidine-7-carboxamide, 5-bromo-N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-(9CI) (CA INDEX NAME)

410543-60-1 CAPLUS 1,6-Naphthytidine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxys-(phenylthio)- (SCI) (CA INDEX NAME)

RN 410544-56-8 CAPLUS
CN 1.6-Naphthyridine-7-carboxamide, 5-bromo-N-[(4-fluorophenyl)methyl]-8-hydroxy- (9C1) (CA INDEX NAME)

r CH2-NH-C NH NA 12(

RN 410544-78-4 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-5-(hexahydro-5-oxo-1,4-thiazepin-7-yl)-8-hydroxy- (9CI) (CA INDEX NAME)

RN 410544-95-5 CAPLUS
CN 1.6-Maphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)

LS ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 410545-63-0 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[[4-fluoro-2(methylsulfonyl)phenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2thiazin-2-yl)- (9CI) (CA INDEX NAME)

RN 410545-69-6 CAPLUS
1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-[{2-(methylsulfonyl)phenyl]methyl]-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-(9C1) (CA INDEX NAME)

CH2-NH-C

RN 410545-78-7 CAPLUS (N 1.6-Naphthyridine-7-carboxamide, N-[[2-[(dimethylamino)sulfonyl]-4-Page 20

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

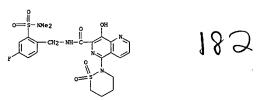
RN 410545-04-9 CAPLUS
CN 1.6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(4-thiomorpholinyl)-(9CI) (CA INDEX NAME)

RN 410545-20-9 CAPLUS

N 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5(tetrahydro-1,1-dioxido-2H-1,5,2-dithiazepin-2-yl)- (9CI) (CA INDEX NAME)

RN 410545-28-7 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-[4-(methylsulfonyl)-2-thiomorpholinyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
fluorophenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2yl)- (9CI) (CA INDEX NAME)



10542-69-7P, N-(2,5-Dichlorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410542-71-1P 410542-72-2P,
N-(2-(3-Chlorophenyl) ethyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide 410542-73-3P, N-(2-(2-Chlorophenyl) ethyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide 410542-74-4P, N-(2-(1,1'-Biphenyl-4-y)lethyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide 410542-75-5P,
,8-Hydroxy-N-(2-(4-phenoxyphenyl) ethyl]-1,6-naphthyridine-7-carboxamide 410542-75-7P, N-(1,1'-Biphenyl-2-ylnethyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410542-77-7P, N-(1,1'-Biphenyl-2-ylnethyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410542-77-7P, N-(1,1'-Biphenyl-2-ylnethyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410542-79-9P, 8-Hydroxy-N-henyl-1,6-naphthyridine-7-carboxamide 410542-81-3P, N-Benzyl-8-hydroxy-1,6-naphthyridine-7-carboxamide 410542-81-3P, N-Benzyl-8-hydroxy-N-(1-methyl-1-phenylethyl)-1,6-naphthyridine-7-carboxamide 410542-81-3P, N-Benzyl-8-hydroxy-N-(1-methyl-1-phenylethyl)-1,6-naphthyridine-7-carboxamide 410542-81-3P, N-Benzyl-8-hydroxy-N-phenyl-1,6-naphthyridine-7-carboxamide 410542-81-3P, N-Renzyl-8-hydroxy-1,6-naphthyridine-7-carboxamide 4105

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ANSVER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
8-Bydroxy-N-(6,7,8,9-tetrahydro-5H-benzo[a](7)annulen-6-ylmethyl]-1,6-naphthyridine-7-carboxamide 410543-13-4P, 8-Bydroxy-N-(2-(1-naphthyridine-7-carboxamide 410543-13-4P, 8-Bydroxy-N-(2-(1-naphthyridine-7-carboxamide 410543-13-6P)
N-(2,3-Dihydro-1H-inden-2-ylmethyl)-8-bydroxy-1,6-naphthyridine-7-carboxamide 410543-19-P9, 8-Bydroxy-N-(1B)-1-penylethyl)-1,6-naphthyridine-7-carboxamide 410543-20-PP, 8-Bydroxy-N-(1S)-1-penylethyl)-1,6-naphthyridine-7-carboxamide 410543-22-PP, 8-Bydroxy-N-(3-hydroxy-1-phenylethyl)-1,6-naphthyridine-7-carboxamide 410543-22-PP, 8-Bydroxy-N-(3-hydroxy-1-phenylethyl)-1,6-naphthyridine-7-carboxamide 410543-22-PP, N-(1R)-1-phenylethyl)-1,6-naphthyridine-7-carboxamide 410543-22-PP, N-(1R)-1-phenylethyl)-1,6-naphthyridine-7-carboxamide 410543-22-PP, N-(1R)-1-Phenylethyl)-1,6-naphthyridine-7-carboxamide 410543-22-PP, N-(1R)-1-Phenylethyl)-1,6-naphthyridine-7-carboxamide 410543-23-PP, N-(1R)-1-Phenylethyl)-1,6-naphthyridine-7-carboxamide 410543-32-PP, N-(1R)-1-Phenylethyl)-8-hydroxy-N-(2-hydroxy-N-(2-hydroxy-S-phenylethyl)-6-naphthyridine-7-carboxamide 410543-33-PP, N-(3,5-Dichlorobenzyl)-8-hydroxy-5-phenylethin-1-yl-1,6-naphthyridine-7-carboxamide 410543-33-PP, N-(3,5-Dichlorobenzyl)-8-hydroxy-5-phenylethin-1-yl-1,6-naphthyridine-7-carboxamide 410543-34-PP, N-(3,5-Dichlorobenzyl)-8-hydroxy-5-phenyl-1,6-naphthyridine-7-carboxamide 410543-34-PP, N-(3,5-Dichlorobenzyl)-8-hydroxy-5-phenyl-1,6-naphthyridine-7-carboxamide 410543-40-PP, N-(Benzyl)-8-hydroxy-5-phenyl-1,6-naphthyridine-7-carboxamide 410543-40-PP, N-(3,5-Dichlorobenzyl)-8-hydroxy-5-phenyl-1,6-naphthyridine-7-carboxamide 410543-40-PP, N-(3,5-Dichlorobenzyl)-8-hydroxy-5-phenyl-1,6-naphthyridine-7-carboxamide 410543-40-PP, N-(3,5-Dichlorobenzyl)-8-hydroxy-5-phenyl-1,6-naphthyridine-7-carboxamide 410543-40-PP, N-(3,5-Dichlorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410543-40-PP, N-(3,5-Dichlorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide 410543-50-PP, N-(3,5-Dichlor
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ANSVER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued) trifluoroacetate 410543-65-69, N. -(3,5-Dichlorobenzyl)-8-hydroxy-5-(H-1,2,4-triazol-4-yl)-1,6-naphthyridine-7-carboxamide 410543-67-89, N. -(3,5-Dichlorobenzyl)-8-hydroxy-5-(1H-1,2,4-triazol-1-yl)-1,6-naphthyridine-7-carboxamide 410543-67-89, N. -(3,5-Dichlorobenzyl)-8-hydroxy-5-(3-hydroxpytrolidin-1-yl)-1,6-naphthyridine-7-carboxamide 410543-69-89, 5-[3-(Acetylamino) pyrrolidin-1-yl)-8-hydroxy-5-(3-hydroxpytrolidin-1-yl)-1,6-naphthyridin-9-carboxamide 410543-69-99, N. -(3,5-Dichlorobenzyl)-5-(4-formylpiperazin-1-yl)-8-hydroxy-1,6-naphthyridin-7-carboxamide 410543-69-09, N. -(3,5-Dichlorobenzyl)-5-(4-formylpiperazin-1-yl)-8-hydroxy-1,6-naphthyridin-7-carboxamide 410543-70-39, 1-(7-[(3,5-Dichlorobenzyl) amino] carbonyl]-8-hydroxy-1,6-naphthyridin-7-carboxamide 410543-70-89, 1-(7-[(3,5-Dichlorobenzyl) amino] carbonyl]-8-hydroxy-1,6-naphthyridin-5-yl]piperazine trifluoroacetate (1:1) 410543-73-69 410543-73-69 410543-78-19, 1-(7-[(3,5-Dichlorobenzyl) amino] carbonyl]-8-hydroxy-1,6-naphthyridin-5-yl]-4-(2-avo-2-pyrrolidin-1-ylethy) piperazine 410543-79-29, 1-(7-[(3,5-Dichlorobenzyl) amino] carbonyl]-8-hydroxy-1,6-naphthyridin-5-yl]prop-1-ynyl)-[1,6] haphthyridin-7-carboxylc acid 3,5-dichlorobenzylamide 410543-81-86-89, 8-Hydroxy-5-(3-piperidin-1-yl)prop-1-ynyl)-[1,6] haphthyridin-7-carboxylc acid 3,5-dichlorobenzylamide trifluoroacetate (20:1) 410543-88-99, N- (3,5-Dichlorobenzylamide 410543-88-09, 5-[3-(Aminoacbonyl))-piperidin-1-yl-N- (3,5-dichlorobenzyl-8-hydroxy-1,6-naphthyridin-7-carboxamide 410543-88-09, 5-[3-(Aminoacbonyl)-9-hydroxy-1,6-naphthyridin-5-yl-4(-2-phenylethyl)-9-hydroxy-1,6-naphthyridin-7-carboxamide 410543-88-09, 5-[3-(Aminoacbonyl)-8-hydroxy-1,6-naphthyridin-7-carboxamide 410543-89-09, 2-[(7-[(3,5-Dichlorobenzyl)-3-hydroxy-1,6-naphthyridin-5-yl-4(-2-phenylethyl)-piperazine trifluoroacetate (1:1) 410543-89-09, 2-[(7-[(3,5-Dichlorobenzyl)-3-hydroxy-1,6-naphthyridin-5-yl-3-hydroxy-1,6-naphthyridin-5-yl-3-hydroxy-1,6-naphthyridin-5-yl-3-hyd
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ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
8-hydroxy-5-(methylsulfonyl)-1,6-naphthyridine-7-carboxamide
410344-44-4P, N-(2,3-Dimethoxybenzyl)-8-hydroxy-5-(methylsulfonyl)-1,6-naphthyridine-7-carboxamide trifluoroacetate (1:1)
410344-45-5P, N-(3,5-Dichlorobenzyl)-8-hydroxy-5-(2-hydroxy-14)lamino]-1,6-naphthyridine-7-carboxamide 410544-46-6P
, N-(3,5-Dichlorobenzyl)-8-hydroxy-5-(12-phenylproyyl) amino]-1,6-naphthyridine-7-carboxamide 410544-47-PR 410544-46-8P,
N-(3,5-Dichlorobenzyl)-8-hydroxy-5-(12-phenylproyyl) amino]-1,6-naphthyridine-7-carboxamide 410544-39-2P,
N-(3,5-Dichlorobenzyl)-8-hydroxy-5-(13-morpholin-4-ylproyyl) amino]-1,6-naphthyridine-7-carboxamide 410544-39-2P,
N-(3,5-Dichlorobenzyl)-8-hydroxy-5-(12-morpholin-4-ylproyyl)-8-hydroxy-5-(4-(pyridin-2-ylmethyl))-9-hydroxy-1-(6-naphthyridine-7-carboxamide 410544-31-3P, N-(2,3-Dichlorobenzyl)-8-hydroxy-1-(6-naphthyridine-7-carboxamide 410544-35-9P, N-(2,3-Dimethoxyboxpxyl)-5-(14-(dimethylamino))-9-hydroxy-1-(6-naphthyridine-7-carboxamide 410544-35-PP, N-(2,3-Dimethoxyboxpxyl)-5-(14-(dimethylamino))-8-hydroxy-1-(6-naphthyridine-7-carboxamide 410544-36-PP, 8-Hydroxy-5-sechyl-(1,6)-8-hydroxy-1-(6-naphthyridine-7-carboxyl)-8-hydroxy-1-(6-naphthyridine-7-carboxyl)-8-hydroxy-1-(6-naphthyridine-7-carboxyl)-8-hydroxy-1-(6-naphthyridine-7-carboxyl)-8-hydroxy-1-(6-naphthyridin-5-yl]-piperazine 410544-60-PP, 1-(7-(4-Phipperazine 410544-50-PP, 1-(4-Phipperazine 410544-50-PP, 1-(4-Phippe
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ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
N-(4-Fluorobenzyl)-8-hydroxy-5-(4-methyl-3-oxopiperazin-1-yl)-1, 6-naphthyridine-7-carboxamide 410345-97-2P, 1-(7-[(4-Fluorobenzyl)-8-hydroxy-5-(2-oxotetrahydropyrimidin-1(2H)-yl)-1, 6-naphthyridin-5-yl-L-prolinamide 410345-93-9, N-(4-Fluorobenzyl)-8-hydroxy-5-(2-oxotatrahydropyrimidin-1(2H)-yl)-1, 6-naphthyridine-7-carboxamide 410345-94, N-(4-Fluorobenzyl)-8-hydroxy-5-(2-oxotimidazolidin-1-yl)-1, 6-naphthyridine-7-carboxamide 410545-10-7P 410345-14-14 F 410545-13-2P 410345-16-3P 410345-13-79 410345-16-3P 410345-13-74, N-(4-Fluorobenzyl)-5-(1,1-dioxido-4-oxo-1,2,5-thiadiazepan-2-yl)-8-hydroxy(1,6)naphthyridine-7-carboxamide 410345-19-6P, N-(4-Fluorobenzyl)-5-(1,1-dioxido-5-methyl-4-oxo-1,2,5-thiadiazepan-2-yl)-8-hydroxy(1,6)naphthyridine-7-carboxamide 410345-19-6P, N-(4-Fluorobenzyl)-5-(1,1-dioxido-5-methyl-4-oxo-1,2,5-thiadiazepan-2-yl)-8-hydroxy(1,6)naphthyridine-7-carboxamide 410345-21-0P, N-(4-Fluorobenzyl)-5-(1,1,5,5-tetraoxido-1,5,2-dithiazepan-5-yl)-8-hydroxy(1,6)naphthyridine-7-carboxamide 410345-22-2P, N-(4-Fluorobenzyl)-5-(1,4-diazethyl-7-oxo-1,4-diazepan-5-yl)-8-hydroxy(1,6)naphthyridine-7-carboxamide 410345-22-4P 410345-28-5P, N-(4-Fluorobenzyl)-5-(1,7-dioxido-7-carboxamide 410345-27-6P 410345-28-5P, N-(4-Fluorobenzyl)-5-(1-oxo-1,4-diazepan-5-yl)-8-hydroxy(1,6)naphthyridine-7-carboxamide 410345-32-6P 410345-31-2P 410345-32-6P 410345-32-3P, N-(4-Fluorobenzyl)-5-(1-oxo-1,4-diazepan-5-yl)-8-hydroxy(1,6)naphthyridine-7-carboxamide 410345-32-6P 410345-31-2P 410345-32-3P, N-(4-Fluorobenzyl)-5-(1-oxo-1,4-diazepan-5-yl)-8-hydroxy(1,6)naphthyridine-7-carboxamide 410345-32-70 410345-32-3P, N-(4-Fluorobenzyl)-5-(1-oxo-1,4-diazepan-5-yl)-8-hydroxy(1,6)naphthyridine-7-carboxamide 410345-32-3P, N-(4-Fluorobenzyl)-5-(1,6)naphthyridine-7-carboxamide 410345-32-3P, N-(4-Fluorobenzyl)-5-(1,6)naphthyridine-7-carboxamide 410345-32-3P, N-(4-Fluorobenzyl)-5-(1,6)naphthyridine-7-carboxamide 410345-32-3P, N-(4-Fluorobenzyl)-5-(1,6)naphthyridine-7-carboxamide 410345-

410545-23-49 410545-26-59, N-(4-Fluorobenzyl)-5-(7-oxo1,4-diazepan-5-yl)-8-hydroxy(1,6)naphthyridine-7-carboxamide
410545-27-69 410545-31-29
N-(4-Fluorobenzyl)-5-[4-(nethylsulfonyl)-1-oxidothiomorpholin-2-yl]-8hydroxy(1,6)naphthyridine-7-carboxamide 410545-22-39,
N-(4-Fluorobenzyl)-5-[4-(nethylsulfonyl)-1,1-dioxidothiomorpholin-2-yl]-8hydroxy(1,6)naphthyridine-7-carboxamide 410545-33-49,
5-(2-Acetyl-1-methylpyrazolidin-3-yl)-N-(4-Fluorobenzyl)-9hydroxy(1,6)naphthyridine-7-carboxamide 410545-33-69,
N-(4-Fluorobenzyl)-5-(1,1-dioxido-1,2,5-thiadiazepan-2-yl)-8hydroxy(1,6)naphthyridine-7-carboxamide 410545-36-79
410545-41-49, N-(4-Fluorobenzyl)-8-hydroxy-5-(5-(methylsulfonyl)1,1-dioxido-1,2,5-thiadiazepan-2-yl)-1,6-naphthyridine-7-carboxamide
410545-43-69, N-(4-Fluorobenzyl)-8-hydroxy-5-(6-methyl-1,1-dioxido1,2,6-thiadiazinan-2-yl)-1,6-naphthyridine-7-carboxamide
410545-44-79, N-(4-Fluorobenzyl)-8-hydroxy-5-(methyl)(1-methyl-1Himidazol-4-yl)sulfonyl)amino]-1,6-naphthyridine-7-carboxamide
410545-44-79, N-(4-Fluorobenzyl)-8-hydroxy-5-(methyl)(1-methyl-1Himidazol-4-yl)sulfonyl)sulfonyl)sulfonyl)sulfonyl)benzyl]-8hydroxy-NS,NS-dimethyl-1,6-naphthyridine-7-carboxamide
410545-64-79 Sodium 5-(1,1-dioxido-1,2-thiazinan-2-yl)-7-([4-fluorobe-7,8-6-4-7])-1,6-naphthyridin-8-olate
410545-64-19 410545-50-59, N-(4-Fluorobenzyl)-8-hydroxy-1,6-naphthyridin-8-olate
410545-86-99, Sodium 5-(1,1-dioxido-1,2-thiazinan-2-yl)-5-(1,1-dioxido-1,2-thiazinan-2-yl)-1,6-naphthyridin-8-olate
410545-86-99, Sodium 5-(1,6-naphthyridin-8-olate 410545-80-99, 1-(3-[7-[(4,5-naphthyridin-8-olate 41054

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN L5 (Continued)

410542-73-3 CAPLUS 1,6-Naphthyridine-7-carboxamide, N-[2-(2-chlorophenyl)ethyl]-8-hydroxy-(9C1) (CA INDEX NAME)

410542-74-4 CAPLUS 1,6-Naphthyridine-7-carboxamide, N-(2-[1,1'-biphenyl]-4-ylethyl)-8-hydroxy-(9CI) (CA INDEX NAME)

410542-75-5 CAPLUS
1.6-Naphthyridine-7-carboxamide, 8-hydroxy-N-{2-(4-phenoxyphenyl)ethyl]-(9C1) (CA INDEX NAME)

410542-76-6 CAPLUS 1,6-Maphthyridine-7-carboxamide, 8-hydroxy-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

410542-77-7 CAPLUS 1.6-Naphthyridine-7-carboxamide, N-([1,1'-biphenyl]-2-ylmethyl)-8-hydroxy-GCI) (CA INDEX NAME)

ANSVER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
N1,N2,N2-trimethylethanediamide 410345-91-4P,
N7-(4-Fluorobenzyl)-8-hydroxy-N5,N5-dimethyl-1,6-naphthyridine-5,7dicarboxamide 410345-92-5P, N7-(4-Fluorobenzyl)-8-hydroxy-N5isopropyl-N5-methyl-1,6-naphthyridine-5,7-dicarboxamide
410545-93-6P, N7-(4-Fluorobenzyl)-8-hydroxy-N5-(2-morpholin-4ylethyl)-1,6-naphthyridine-5,7-dicarboxamide 410545-94-7P,
N5-[2-(Dimethylamino)-2-oxoethyl]-N7-(4-fluorobenzyl)-8-hydroxy-N5-methyl1,6-naphthyridine-5,7-dicarboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(HIV integrase inhibitor; prepn. of (poly)azanaphthalenyl carboxamides

(Uses) (HIV integrase inhibitor; prepn. of (poly)azanaphthalenyl carboxamides as HIV integrase inhibitors for treatment of AIDS) 410542-69-7 CAPUS 1,6-Naphthyridine-7-carboxamide, N-[{2,5-dichlorophenyl)methyl}-8-hydroxy-(9CI) (CA INDEX NAME)

410542-71-1 CAPLUS
1.6-Maphthyridine-7-carboxamide, N-(2,3-dihydro-1H-inden-1-yl)-8-hydroxy-(SCI) (CA INDEX NAME)

410542-72-2 CAPLUS 1,6-Naphthycidine-7-carboxamide, N-[2-(3-chlorophenyl)ethyl]-8-hydroxy-(9C1) (CA INDEX NAME)

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN

410542-78-8 CAPLUS
1,6-Naphthyridine-7-carboxamide, N-([1,1'-biphenyl]-3-ylmethyl)-8-hydroxy-(9CI) (CA INDEX NAME)

410542-79-9 CAPLUS
1,6-Maphthyridine-7-carboxamide, 8-hydroxy-N-phenyl- (9CI) (CA INDEX NAME)

410542-80-2 CAPLUS 1.6-Maphthyridine-7-carboxamide, N-[(2-chlorophenyl)methyl]-8-hydroxy-(9C1) (CA INDEX NAME)

410542-81-3 CAPLUS 1.6-Naphthyridine-7-carboxamide, 8-hydroxy-N-methyl-N-(phenylmethyl)-(SCI) (CA INDEX NAME)

09/973,853

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 410542-82-4 CAPLUS
CN 1.6-Naphthyridine-7-carboxamide, 8-hydroxy-N-(1-methyl-1-phenylethyl)(9CI) (CA INDEX NAME)

RN 410542-83-5 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-(2-phenylethyl)- (9CI) (CA INDER NAME)

Ph-CH2-CH2-NH-C

RN 410542-84-6 CAPLUS CN 1.6-Naphthyridine-7-carboxamide, 8-hydroxy-N-(1-naphthalenylmethyl)- (9CI) (CA INDEX NAME)

CH2 CH2 NH OH O=C-NN

RN 410542-85-7 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-phenyl-N-(phenylmethyl)-

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Eto-c-CH2-N-C N Ph-CH2 N

RN 410542-90-4 CAPLUS
CN 1.6-Waphthyridine-7-carboxamide, 8-hydroxy-N-(2-phenylethyl)-N(phenylmethyl)- (9CI) (CA INDEX NAME)

Ph-CH₂-CH₂-N-C N N 22

RN 410542-91-5 CAPLUS
CN 1.6-Naphthyridine-7-carboxamide, N-(1,2-diphenylethyl)-8-hydroxy- (9CI)
(CA INDEX NAME)

Ph OH N N N N 23

RN 410542-92-6 CAPLUS
CN 1.6-Naphthycidine-7-carboxamide, N-(2,3-dihydro-1H-inden-2-y1)-8-hydroxy(SCI) (CA INDEX NAME)

RN 410542-93-7 CAPLUS CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-(phenylmethyl)- (9CI) (CA INDEX NAME) L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(9CI) (CA INDEX NAME)

Ph-CH2-N-C N

RN 410542-86-8 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3-chlorophenyl)methyl]-8-hydroxy(9C1) (CA INDEX NAME)

C1 OH N N N

RN 410542-87-9 CAPLUS
CN 1,6-Maphthyridine-7-carboxamide, N-[(4-chlorophenyl)methyl]-8-hydroxy(9C1) (CA INDEX NAME)

C1 OH OH OH OH OH OH

RN 410542-88-0 CAPLUS
CN Benzeneacetic acid, .alpha.-[[(8-hydroxy-1,6-naphthyridin-7-y1)carbonyl]amino]-, methyl ester, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

MeO S N N N N ZO

RN 410542-89-1 CAPLUS
CN Glycine, N-[(8-hydroxy-1,6-naphthyridin-7-y1)carbonyl]-N-(phenylmethyl)-,
ethyl sater (9C1) (CA INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 410542-95-9 CAPLUS CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-[2-(phenylamino)ethyl]- (9CI) (CA INDEX NAME)

PhNH-CH2-CH2-NH-C

RN 410542-96-0 CAPLUS
CN 1.6-Maphthyridine-7-carboxamide, N-(2,2-diphenylethyl)-8-hydroxy- (9CI)
(CA INDEX NAME)

Ph2CH-CH2-NH-C OH N

RN 410542-98-2 CAPLUS
CN 1.6-Naphthyridine-7-carboxamide, N-(3,3-diphenylpropyl)-8-hydroxy- (9CI)
(CA INDEX NAME)

Ph2CH-CH2-CH2-NH-C

RN 410543-00-9 CAPLUS
CN 1.6-Maphthyridine-7-carboxamide, N-[(2-chloro-6-phenoxyphenyl)methyl]-8-hydroxy- (SCI) (CA INDEX NAME)

OPh OH OH N

z9)

RN 410543-03-2 CAPLUS
CN Benzeneacetic acid, .alpha.-[[(8-hydroxy-1,6-naphthyridin-7-yl)carbonyl]aminol-, methyl ester, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

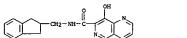
Meo RH N OH N 30)

RN 410543-06-5 CAPLUS CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9C1) (CA INDEX NAME)

NH OH OH OH

RN 410543-07-6 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(2,3-dihydro-1H-inden-1-y1)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)

LS ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



315)

RN 410543-18-9 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-[(1R)-1-phenylethyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

36)

RN 410543-20-3 CAPLUS
CN 1.6-Maphthycidine-7-carboxamide, 8-hydroxy-N-[(1S)-1-phenylethy1]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

37

RN 410543-22-5 CAPLUS
CN 1.6-Naphthyridine-7-carboxamide, 8-hydroxy-N-(3-hydroxy-1-phenylpropyl)(9C1) (CA INDEX NAME)

HO-CH2-CH2-CH-NH-C OH

RN 410543-23-6 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[2-(4-chlorophenyl)ethyl]-8-hydroxy(9CI) (CA INDEX NAME)

LS ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued

RN 410543-09-8 CAPLUS
CN 1,6-Waphthyridine-7-carboxamide, 8-hydroxy-N-[(6,7,8,9-tetrahydro-5H-benzocyclohepten-6-y1)methyl]- (9CI) (CA INDEX NAME)

RN 410543-13-4 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-[2-(1-naphthalenylamino)ethyl]- (9CI) (CA INDEX NAME)

NRI CH2 CH2 CH2 NH OH NH

RN 410543-15-6 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(2,3-dihydro-1H-inden-2-yl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 410543-24-7 CAPLUS
CN 1,6-Maphthyridine-7-carboxamide, 8-hydroxy-N-[(1R)-2-hydroxy-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 410543-25-8 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-[(1S)-1-(hydroxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 410543-26-9 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-[(1R)-1-[hydroxymethyl]-2-phenylethyl]- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

RN 410543-27-0 CAPLUS
CN 1.6-Maphthyridine-7-carboxamide, 8-hydroxy-N-(2-hydroxy-2-phenylethyl)(9C1) (CA INDEX NAME)

09/973,853

LS ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Ph HO-CH-CH₂-NH-C

43

RN 410543-32-7 CAPLUS
CN 1.6-Naphthyriding-7-carboxamide, N-[(3,5-dichlorophenyl)methyl)-8-hydroxy-5-(1-piperidinyl)- (9Cl) (CA INDEX NAME)

C1 OH OH N

RN 410543-33-8 CAPLUS
CN 1,6-Naphthyriddine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-phenyl- (9G1) (CA INDEX NAME)

C1 OH OH N Ph

RN 410543-35-0 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-(H-imidazol-1-yl)- (9CI) (CA INDEX NAME)

LS ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Ph-CH₂-NH-C

51

RN 410543-41-8 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-(2,3-dihydro-1H-inden-1-y1)-8-hydroxy-5-phenyl-(9C1) (CA INDEX NAME)

5 Z

RN 410543-42-9 CAPLUS
CN 1.6-Nephthyridine-7-carboxamide, 8-hydroxy-N-(1-naphthalenylmethyl)-5phenyl- (9C1) (CA INDEX NAME)

53

RN 410543-43-0 CAPLUS
CN 1,6-Maphthytidine-7-carboxamide, N-[(2,5-dichlorophenyl)methyl]-8-hydroxy5-phenyl- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

C1 OH OH N

RN 410543-36-1 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy5-(4-morpholinyl)- (9CI) (CA INDEX NAME)

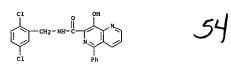
RN 410543-37-2 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(1R,3R)-2,3-dihydro-3-phenyl-1H-inden-1-yl]-8-hydroxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

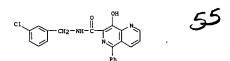
49

RN 410543-40-7 CAPLUS
CN 1,6-Maphthyridine-7-carboxamide, 8-hydroxy-5-phenyl-N-(phenylmethyl)(9C1) (CA INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 410543-44-1 CAPLUS
CN 1.6-Naphthyridine-7-carboxamide, N-[(3-chlorophenyl)methyl]-8-hydroxy-5-phenyl-(9C1) (CA INDEX NAME)



RN 410543-46-3 CAPLUS CN 1,6-Naphthyridine-7-carboxamide, N-[(1S)-2,3-dihydro-1H-inden-1-yl]-8hydroxy- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

56

RN 410543-48-5 CAPLUS
CN 1.6-Maphthyridine-7-carboxamide, N-[(3.5-dichlorophenyl)methyl]-8-hydroxy-5-phenoxy- (9C1) (CA INDEX NAME)

CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH2-NH-CH

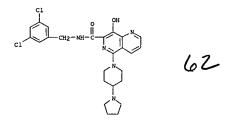
RN 410543-49-6 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued) 5-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 410543-50-9 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[4-(phenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 410543-51-0 CAPLUS
CN 1.6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-5-[4-[2-(formylamino)ethyl]-1-piperazinyl]-8-hydroxy- (9C1) (CA INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued



AN 410543-54-3 CAPLUS
1,6-Naphthyridine-7-carboxamide, N-[{3,5-dichlorophenyl}methyl}-8-hydroxy-5-(phenylamino)- (9CI) (CA INDEX NAME)

RN 410543-55-4 CAPLUS
CN 1.6-Naphthyrtdine-7-carboxamide, N-[(3.5-dichlorophenyl)methyl]-5-[[3-(formylmanino)propyl]manino]-8-hydroxy- (9C1) (CA INDEX NAME)

RN 410543-56-5 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-5-[[2-(dimethylamino)ethyl]amino]-8-hydroxy- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 410543-52-1 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy5-[4-(2-pyridinyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 410543-53-2 CAPLUS
CN 1.6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy5-[4-(1-pyrrolidinyl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 410543-57-6 CAPLUS
CN 1.6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy5-[(2-(4-morpholinyl)ethyl]amino]- (9C1) (CA INDEX NAME)

RN 410543-58-7 CAPLUS

1.6-Waphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN

410543-59-8 CAPLUS
1,6-Maphthyridine-7-carboxamide, N-[(3,5-dichloropheny1)methy1)-5-[(2-dimethy1amino)ethy1]methy1amino]-9-hydroxy- (9CI) (CA INDEX NAME)

410543-61-2 CAPLUS
1,6-Maphthyridine-7-carboxamide, N-{(3,5-dichlorophenyl)methyl}-8-hydroxy-5-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

410543-62-3 CAPLUS
Carbamic acid, [1-[7-[[[{3,5-dichlorophenyl)methyl]amino]carbonyl]-8-hydroxy-1,6-naphthyridin-5-yl]-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN

410543-65-6 CAPLUS
1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-(4H-1,2,4-triazol-4-yl)- (9CI) (CA INDEX NAME)

410543-66-7 CAPLUS
1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-(1H-1,2,4-triazol-1-yl)- (9CI) (CA INDEX NAME)

410543-67-8 CAPLUS
1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxys-(3-hydroxy-1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

410543-64-5 CAPLUS
1,6-Naphthyridine-7-carboxamide, 5-(3-amino-1-pyrrolidinyl)-N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CRN 410543-63-4 CMF C20 H19 C12 N5 O2

2

CRN 76-05-1 CMF C2 H F3 O2

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

410543-68-9 CAPLUS
1,6-Naphthyridine-7-carboxamide, 5-[3-(acetylamino)-1-pyrrolidinyl]-N[(3,5-dichlorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)

410543-69-0 CAPLUS 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-5-(4-formyl-1-piperazinyl)-8-hydroxy- (9CI) (CA INDEX NAME)

410543-70-3 CAPLUS
1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN 5-(1-piperaziny1)- (9CI) (CA INDEX NAME) (Continued)

410543-71-4 CAPLUS
1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-0-hydroxy-5-(1-piperazinyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CRN 410543-70-3 CMF C20 H19 C12 N5 O2

CRN 76-05-1 CMF C2 H F3 O2

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN

410543-79-2 CAPLUS
1.6-Maphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[4-[2-oxo-2-(1-pyrcolidinyl)ethyl]-1-piperazinyl]-,
monoftrifluoroacetate) (salt) (9C1) (CA INDEX NAME)

CH 1

CRN 410543-78-1 CMF C26 H28 C12 N6 O3

CM 2

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L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

410543-73-6 CAPLUS
1,6-Maphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-(3-hydroxy-1-propynyl)-, trifluoroacetate (5:2) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 410543-72-5 CMF C19 H13 C12 N3 O3

410543-78-1 CAPLUS
1,6-Maphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[4-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN CRN 76-05-1 CMF C2 H F3 O2 (Continued)

410543-80-5 CAPLUS
1,6-Maphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[3-(1-piperidinyl)-1-propynyl]- (9CI) (CA INDEX NAME)

410543-81-6 CAPLUS.
1,6-Maphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[3-(1-piperidinyl)-1-propynyl]-, trifluoroacetate (20:1) (salt) (9CI) (CA INDEX NAME)

CH 1

CRN 410543-80-5 CMF C24 H22 C12 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

F-C-002H

RN 410543-84-9 CAPLUS
CN 1.6-Naphthyridine-7-carboxamide, N-[(3.5-dichlorophenyl)methyl]-8-hydroxy-5-(4-thiomorpholinyl)- (9Cl) (CA INDEX NAME)

C1 OH OH N S Z

RN 410543-85-0 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide,5-[3-(aminocarbonyl)-1-piperidinyl]-N[(3,5-dichlorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)

RN 410543-86-1 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[4-(2-phenylethyl)-1-piperazinyl]- (9C1) (CA INDEX NAME)

LS ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued

F-C-CO2H

RW 410543-88-3 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy5-(4-pyridinylamino)- (9CI) (CA INDEX NAME)

C1 OH OH NH S 5

RN 410543-89-4 CAPLUS
CN 1.6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-(4-pyridinylamino)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 410543-88-3 CMF C21 H15 C12 N5 O2

C1 OH OH N

CM 2

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN . (Continued)

RN 410543-87-2 CAPLUS
CN 1.6-Naphthyriddine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy5-[4-(2-phenylethyl)-1-piperazinyl]-, mono(trifluoroacetate) (salt) (9CI)
(CA INDEX NAME)

CM 1

CRN 410543-86-1 CMF C28 H27 C12 N5 O2

CM 2

CRN 76-05-1 CMF · C2 H F3 O2

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued) CRN 76-05-1 CMF C2 H F3 O2

F-C-CO2H

RN 410543-90-7 CAPLUS CN 1,6-Maphthyridine-7-carboxamide, 5-[(cyclopropylmethyl)amino]-N-[(3,5-dichlorophenyl)amthyl]-8-hydroxy- (9C1) (CA INDEX NAME)

C1 CH2-NH-C OH NH CH2

RN 410543-91-8 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-5-[{2-(formylamino)=thyl]mmino]-8-hydroxy- (9CI) (CA INDEX NAME)

RN 410543-92-9 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 5-[(2-aminoethyl)amino]-N-[(3,5-dichlorophenyl)methyl]-8-hydroxy- (9C1) (CA INDEX NAME)

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN

410543-93-0 CAPLUS
1,6-Maphthyridine-7-carboxamide, 5-[(2-aminoethyl)amino]-N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

СМ

CRN 410543-92-9 CMF C18 H17 C12 N5 O2

СM 2

410543-94-1 CAPLUS
1,6-Naphthyridine-7-carboxamide, N-{(3,5-dichlorophenyl)methyl}-8-hydroxy-5-{(2-methoxyethyl)mino}- (9Cl) (CA INDEX NAME)

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (CA INDEX NAME)

CM 1

CRN 410543-96-3 CMF C22 H23 C12 N5 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

410543-98-5 CAPLUS 1,6-Maphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-(1-pyrcolidinyl)- (9C1) (CA INDEX NAME)

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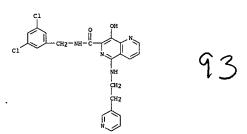
L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

410543-95-2 CAPLUS
1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[[2-(methylthio)ethyl)amino]- (9CI) (CA INDEX NAME)

410543-96-3 CAPLUS
1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[(2-(1-pyrrolidinyl)ethyl]amino]- (9CI) (CA INDEX NAME)

410543-97-4 CAPLUS
1.6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[(2-(1-pycrolidinyl)ethyl]amino]-, mono(trifluoroacetate) (salt) (9CI)

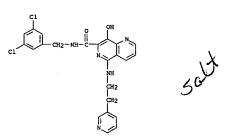
ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued) 410543-99-6 CAPLUS 1,6-Maphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[[2-(3-pyridinyl)ethyl]amino]- (9CI) (CA INDEX NAME)



410544-00-2 CAPLUS
1,6-Maphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[[2-(3-pyridinyl)ethyl]amino]-, mono(trifluoroacetate) (9al) (CA INDEX NAME)

CM 1

CRN 410543-99-6 CMF C23 H19 C12 N5 O2



CM 2

CRN 76-05-1 CMF C2 H F3 O2

F-C-CO2H

RN 410544-02-4 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[{3,5-dichlorophenyl]methyl]-8-hydroxy-5-[[3-(IH-imidazol-1-yl)propyl]amino]-, mono(trifluoroacetate) {salt}
(9C1) (CA INDEX NAME)

э :

CRN 410544-01-3 CMF C22 H20 C12 N6 O2

C1 OH NHC CH2-NH-C NH NH NH (CH2) 3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

F-C-CO2H

RN 410544-03-5 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy5-[[3-(1-pyrrolidinyl)propyl]amino]- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

F-C-CO2H

RN 410544-05-7 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 5-[4-(2-sminoethyl)-1-piperazinyl]-N[(3,5-dichlorophenyl)sethyl]-8-hydroxy- (SCI) (CA INDEX NAME)

RN 410544-06-8 CAPLUS
CN 1,6-Naphthytidine-7-carboxamide, 5-[4-(2-aminoethyl)-1-piperazinyl]-N[(3,5-dichlorophenyl)methyl)-8-hydroxy-, mono(trifluoroacetate) (salt)
[9C1 (CA INDEX NAME)

CM 1

CRN 410544-05-7 CMF C22 H24 C12 N6 O2

CM 2

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L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

C1 OH OH NH CH2-NH-C NH NH (CH2) 3

RN 410544-04-6 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[(3-1-pyrcolidinyl)propyl]amino]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CH 1

CRN 410544-03-5 CMF C23 H25 C12 N5 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued) CRN 76-05-1 CMF C2 H F3 O2

F-C-CO2H

RN 410544-07-9 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy5-[(2-phenoxyethyl)amino]- (9CI) (CA INDEX NAME)

C1 OH2-NH-C NH NN PhO-CH2-CH2-NH

RN 410544-08-0 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[[3-(2-oxo-1-pyrcolidinyl)propyl]amino]- (SCI) (CA INDEX NAME)

C1 CH2-NH-C NH NH (CH2) 3

RN 410544-09-1 CAPLUS
CN 1.6-Maphthyridine-7-carboxamide, 5-[(2-aminoethyl) (phenylmethyl) amino]-N[(3,5-dichlorophenyl) methyl)-8-hydroxy- (9CI) (CA INDEX NAME)

RN 410544-10-4 CAPLUS
CN 1.6-Naphthytidine-7-carboxamide, 5-[(2-aminoethyl)(phenylmethyl)amino]-N((3.5-dichlorophenyl)methyl)-8-hydroxy-, mono(trifluoroacetate) (salt)
(9CI (CA INDEX NAME)

CM 1

CRN 410544-09-1 CMF C25 H23 C12 N5 O2

$$\begin{array}{c} \text{C1} & \text{OH} \\ \text{OH} & \text{OH} \\ \text{N-CH}_2\text{-CH}_2\text{-NH}_2 \\ \text{Ph-CH}_2 \end{array}$$

5°

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 410544-12-6 CAPLUS
CN 1.6-Maphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[(4-(1-piperazinyl)butyl]amino]-, bistrifluoroacetate) (salt) (9CI)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 410544-14-8 CAPLUS
CN 1.6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy5-[H-imidazo[4,5-b]pyridin-1-yl]-, mono(trifluoroacetate) (salt) (9CI)
(CA INDEX NAME)

CN 1

CRN 410544-13-7 CMF C22 H14 C12 N6 O2

CH 2

CRN 76-05-1 CMF C2 H F3 O2

RN 410544-15-9 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-(3H-inidazo[4,5-b]pyridin-3-yl)- (SCI) (CA INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(CA INDEX NAME)

CM 1

CRN 410544-11-5 CMF C24 H28 C12 N6 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 410544-13-7 CAPLUS
CN 1,6-Maphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-(H-imidazo(4,5-b)pyridin-1-yl)- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 410544-16-0 CAPLUS CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-(3H-imidazo[4,5-b]pyridin-3-yl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 410544-15-9 CMF C22 H14 C12 N6 O2

N C-NH-CH₂



CM 2

CRN 76-05-1 CMF C2 H F3 O2

F-C-CO2H

N 410544-17-1 CAPLUS
1.6-Maphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy5-[(4-(3-methyl-2-oxo-1-imidazolidinyl)phenyl]amino)- (9CI) (CA INDEX NAKE)

RN 410544-18-2 CAPLUS
CN 1.6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-(1,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl)- (9CI) (CA INDEX NAME)

RN 410544-20-6 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy' 5-[{[(2R)-5-oxo-2-pyrrolidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT, 2003 ACS on STN (Continued)

RN 410544-24-0 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-5(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-8-hydroxy-,
mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CH 1

CRN 410544-23-9 CMF C23 H23 C12 N5 O2

C1 CH2-NH-C NH NN

CH 2

CRN 76-05-1 CMF C2 H F3 O2

F-C-CO2H

RN 410544-25-1 CAPLUS

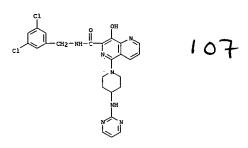
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LS ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 410544-22-8 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-5-[[(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)methyl]amino]-8-hydroxy- (9CI) (CA INDEX NAME)

RN 410544-23-9 CAPLUS I, 6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-5-(hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl)-8-hydroxy- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy5-[4-(2-pyrimidinylamino)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 410544-26-2 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy5-[4-(2-pyrimidinylamino)-1-piperidinyl]-, mono(trifluoroacetate) (salt)
(9CI) (CA INDEX NAME)

CM 1

CRN 410544-25-1 CMF C25 H23 C12 N7 O2

C1 OH OH N

sold

CH 2

CRN 76-05-1

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued) OMF C2 H F3 O2

RN 410544-27-3 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy5-[methyl[2-(2-pyridinyl)ethyl]amino]- (9CI) (CA INDEX NAME)

RN 410544-28-4 CAPLUS
CN 1.6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy5-[methyl[2-(2-pyridinyl)ethyl]amino]-, mono(trifluoroacetate) (salt)
(9CI) (CA INDEX NAME)

CM 1

CRN 410544-27-3 CMF C24 H21 C12 N5 O2

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 410544-32-0 CAPLUS
CN 1.6-Naphthyridine-7-carboxamide, N-[(3,5-difluorophenyl)methyl]-8-hydroxy5-(methylsulfonyl)- (9C1) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ \end{array}$$

RN 410544-33-1 CAPLUS
CN 1.6-Naphthyridine-7-carboxamide, N-[(3,5-difluorophenyl)methyl]-8-hydroxy5-(methylaulfonyl)-, mono(trifluoroacetate) (331) (9C1) (CA INDEX NAME)

CH 1

CRN 410544-32-0 CMF C17 H13 F2 N3 O4 S

CM 2 CRN 76-05-1 CMF C2 H F3 O2

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L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CM 2

CRN 76-05-1 CMF C2 H F3 02

RN 410544-29-5 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-5(dimethylamino)-8-hydroxy- (9CI) (CA INDEX NAME)

RN 410544-30-8 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy5-[3-(4-morpholinyl)-1-propynyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 410544-34-2 CAPLUS
CN 1.6-Naphthyridine-7-carboxamide, 5-cyano-N-[(2,3-dimethoxyphenyl)methyl]-8-hydroxy- (9C1) (CA INDEX NAME)

RN 410544-36-4 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-(2-thienyl)- (951) (CA INDEX NAME)

RN 410544-39-7 CAPLUS
CN 1,6-Maphthyridine-7-carboxamide, 8-hydroxy-N-[[2-(methylthio)phenyl]methyl]-5-(phenylthio)- (9CI) (CA INDEX NAME)

RN 410544-43-3 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(2,3-dimethoxyphenyl)methyl]-8-hydroxy-

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN 5-(methylsulfonyl)- (9CI) (CA INDEX NAME) (Continued)

410544-44-4 CAPLUS
1,6-Naphthycidine-7-carboxamide, N-[(2,3-dimethoxyphenyl)methyl]-8-hydroxy-5-(methylsulfonyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 410544-43-3 CMF C19 H19 N3 O6 S

CH 2

CRN 76-05-1 CMF C2 H F3 O2

410544-45-5 CAPLUS
1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxys5-[(2-hydroxyethyl)mmino]- (9CI) (CA INDEX NAME)

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

410544-49-9 CAPLUS 1.6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[(3-(4-morpholinyl)propyl]amino]- (9C1) (CA INDEX NAME)

410544-50-2 CAPLUS
1.6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy5-[4-(2-pyridinylmethyl)-1-piperazinyl]- (9C1) (CA INDEX NAME)

LS ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN

410544-46-6 CAPLUS
1,6-Maphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-(propylamino)- (9CI) (CA INDEX NAME)

(Continued)

410544-47-7 CAPLUS
1,6-Naphthycidine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[[2-(1H-imidazol-4-yl)ethyl]amino]- (9CI) (CA INDEX NAME)

410544-48-8 CAPLUS 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[(3-phenylpropyl)maino]- (9C1) (CA INDEX NAME)

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

410544-51-3 CAPLUS :
1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[(2-(4-morpholinyl)-2-(3-pyridinyl)ethyl]amino]- (9CI) (CA INDEX NAME)

410544-53-5 CAPLUS
1,6-Naphthycidine-7-carboxamide, N-[(2,3-dimethoxyphenyl)methyl]-5-[[4-(dimethylamino)phenyl]thio]-8-hydroxy- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 410544-54-6 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy5-methyl- (9CI) (CA INDEX NAME)

RN 410544-55-7 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-methyl- (9CI) (CA INDEX NAME)

RN 410544-58-0 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(1-piperazinylmethyl)-, mono(trifluoroacetate) (salt) (9C1) (CA INDEX NAME)

CM 1 CRN 410544-57-9

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CRN 410544-59-1 CMF C20 H20 F N5 O2

CM 2 CRN 76-05-1 CMF C2 H F3 O2

F-C-CO2H

RN 410544-66-0 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 5-{[2-(dimethylamino)-2-cxoethyl]methylamino]-N-{(4-fluorophenyl)methyl]-8-hydroxy-(9CI) (CA INDEX NAME)

RN 410544-68-2 CAPLUS
CN Ethanediamide, (7-[[[(4-fluorophenyl)methyl]amino]carbonyl]-8-hydroxy-1.6naphthyridin-5-yl[trimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued) CMF C21 H22 F N5 O2

CH 2 CRN 76-05-1 CMF C2 H F3 O2

F-C-CO2H

RN 410544-59-1 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 410544-60-4 CAPLUS CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(1-piperazinyl)-, mono(trifluoroacetate) (salt) (9Cl) (CA INDEX NAME)

CH 1

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

• HCl

RN 410544-76-2 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-5-(hexahydro-1-, methyl-2,6-dioxo-4-pyrimidinyl)-8-hydroxy- (9CI) (CA INDEX NAME)

RN 410544-77-3 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-5-(hexahydro-3-methyl-2,6-dioxo-4-pyrimidinyl)-8-hydroxy- (9CI) (CA INDEX NAME)

RN 410544-79-5 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-5-(hexahydro-1-oxido-3-oxo-1,4-thiazepin-7-yl)-8-hydroxy- (9CI) (CA INDEX NAME)

LS ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 410544-80-8 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-5-(hexahydro-1,1-dioxido-5-oxo-1,4-thiazepin-7-yl)-8-hydroxy- (9CI) (CA INDEX NAME)

RN 410544-81-9 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 5-[[2-(dimethylamino)-2-oxoethyl]thio]-N[[4-fluorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)

RN 410544-82-0 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 5-[2-(dimethylamino)-2-oxoethoxy]-N-[(4-fluorophenyl)methyl]-8-hydroxy- (9C1) (CA INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 410544-90-0 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)mathyl]-8-hydroxy-5-[2-(3-oxo-1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 410544-91-1 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-{2-(2-oxo-1-imidazolidinyl)ethyl]- (9CI) (CA INDEX NAME)

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L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 410544-85-3 CAPLUS
CN 1,6-Maphthyridine-7-carboxamide, 5-[[2-(dimethylamino)-2-oxoethyl](methylsulfonyl)amino]-N-[(4-Eluorophenyl)methyl]-8-hydroxy-(9C1) (CA INDEX NAME)

RN 410544-87-5 CAPLUS
CN 1,6-Naphthyridine-5-propanamide, 7-[[{(4-fluorophenyl)methyl]amino]carbony
1]-8-hydroxy-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 410544-89-7 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 5-[(1E)-3-(dimethylamino)-3-oxo-1-propenyl]-N-[(4-fluorophenyl)methyl]-8-hydroxy- (9C1) (CA INDEX NAME)

Double bond geometry as shown.

- L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
- RN 410544-92-2 CAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-[2-(2-oxo-1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 410544-96-6 CAPLUS
CN 1.6-Naphthyridine-7-carboxamide, 5-(1,1-dioxido-2-isothiazolidinyl)-N-[(4-fluorophenyl)methyl]-9-hydroxy- (9CI) (CA INDEX NAME)

RN 410544-97-7 CAPLUS
CN 1.6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl]methyl]-8-hydroxy-5[methyl[nethylaulfonyl]amino]- (9CI) (CA INDEX NAME)

RN 410544-98-8 CAPLUS

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued) 1,6-Naphthyridine-7-carboxamide, 5-(acetylmethylamino)-N-[(4-fluorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)

410544-99-9 CAPLUS
1,6-Naphthyridine-7-carboxamide, 5-{{(dimethylamino)carbonyl]methylamino}-N-{{4-fluorophenyl}methyl}-8-hydroxy- (9CI) (CA INDEX NAME)

410545-02-7 CAPLUS
1H-Pyrlmido(4,5,6-de)[1,6]naphthyridine-5-carboxamide,
N-[(4-fluorophenyl)methyl]-2,3-dihydro-6-hydroxy-3-methyl-1-[2-(4-morpholinyl)ethyl]-2-oxo- (9CI) (CA INDEX NAME)

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN

410545-06-1 CAPLUS
1,6-Naphthyridine-7-carboxamide, N-[(4-fluocophenyl)methyl]-8-hydroxy-5-(4-methyl-3-oxo-1-piperazinyl)- (9CI) (CA INDEX NAME)

410545-07-2 CAPLUS
1,6-Maphthyridine-7-carboxamide, 5-[(2S)-2-(aminocarbonyl)-1-pyrrolidinyl]-N-[(4-fluorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX MAME)

Absolute stereochemistry.

410545-08-3 CAPLUS
1,6-Maphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-2-oxo-1(2H)-pyrimidinyl)- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN

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410545-05-0 CAPLUS
1,6-Naphthyridine-7-carboxamide, 5-(1,1-dioxido-4-thiomorpholinyl)-N-[(4-fluorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN

410545-09-4 CAPLUS 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(2-ox-1-imidazolidinyl)- (9CI) (CA INDEX NAME)

410545-10-7 CAPLUS 1,6-Naphthyridine-5,7-dicarboxamide, N7-[(4-fluorophenyl)methyl]-8-hydroxy-N5,M5-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)

●x HCl

410545-14-1 CAPLUS
1,6-Naphthyridine-5,7-dicarboxamide, N7-[(4-fluorophenyl)methyl]-8-hydroxy-N5-methyl-N5-(1-methylethyl)-, hydrochloride (9CI) (CA INDEX NAME)

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L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

●x HCl

RN 410545-15-2 CAPLUS
CN 1,6-Maphthyridine-5,7-dicarboxamide, N7-[(4-fluorophenyl)methyl]-8-hydroxyN5-[2-(4-morpholinyl)ethyl]-, hydrochloride (9CI) (CA INDEX NAME)

RN 410545-16-3 CAPLUS
CN 1,6-Maphthyridine-5,7-dicarboxamide, N5-[2-{dimethylamino}-2-oxoethyl}-N7[(4-fluorophenyl)methyl]-8-hydroxy-N5-methyl-, hydrochloride (9CI) (CA
INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

●x HCl

RN 410545-17-4 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-4-oxo-1,2,5-thiadiazepin-2(3H)-yl)- (9CI) (CA INDEX NAME)

RN 410545-18-5 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-5-methyl-1,1-dioxido-4-oxo-1,2,5-thiadiazepin-2(3H)-yl)- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 410545-19-6 CAPLUS
CN 1.6-Naphthyridine-7-carboxamide, 5-(5-ethyltetrahydro-1,1-dioxido-4-oxo-1,2,5-thiadiazepin-2(3H)-yl)-N-[(4-fluorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)

RN 410545-21-0 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1,5,5-tetraoxido-2H-1,5,2-dithiazepin-2-yl)- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 410545-22-1 CAPLUS
CN 1,6-Naphthyridina-7-carboxamide, N-[(4-fluorophenyi)methyl]-5-(hexahydro-1,4-dimethyl-7-oxo-IH-1,4-diazepin-5-yl)-8-hydroxy- (9CI) (CA INDEX NAME)

RN 410545-23-2 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl)-5-(hexahydro-1,4-dimethyl-7-oxo-1H-1,4-diazepin-5-yl)-8-hydroxy-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM

CRN 410545-22-1 CMF C23 H24 F N5 O3 L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

СН 2 CRN 76-05-1 CMF C2 H F3 02

410545-24-3 CAPLUS
1,6-Maphthytidine-7-carboxamide, N-[(4-fluoropheny1)methy1)-5-(hexahydro-1-methy1-7-oxo-IH-1,4-diazepin-5-y1)-8-hydroxy- (9CI) (CA INDEX NAME)

410545-25-4 CAPLUS
1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-5-(hexahydro-1-methyl-7-oxo-1H-1,4-diazepin-5-yl)-8-hydroxy-, trifluoroacetate (salt)
(SCI) (CA INDEX NAME)

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued) oxo-1H-1,4-diazepin-5-yl)-8-hydroxy-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CRN 410545-26-5 CMF C21 H20 F N5 O3

CM 2

CRN 76-05-1 CMF C2 H F3 02

410545-31-2 CAPLUS
1.6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-[4-(methylsulfonyl)-1-oxido-2-thiomorpholinyl)- (9CI) (CA INDEX NAME)

410545-32-3 CAPLUS
1,6-Maphthyrtdine-7-carboxamide, N-[(4-fluorophenyl)methyl)-0-hydroxy-5-[4-methylsulfonyl)-1,1-dioxido-2-thiomorpholinyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CRN 410545-24-3 CMF C22 H22 F N5 O3

CRN 76-05-1 CMF C2 H F3 02

F-C-CO2H

410545-26-5 CAPLUS
1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-5-(hexahydro-7-oxo-1H-1,4-diazepin-5-yl)-8-hydroxy- (9CI) (CA INDEX NAME)

410545-27-6 CAPLUS 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-5-(hexahydro-7-

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

410545-33-4 CAPLUS
1,6-Naphthyridine-7-carboxamide, 5-(2-acetyl-1-methyl-3-pyrazolidinyl)-N[(4-fluorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)

410545-35-6 CAPLUS
1,6-Maphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-1,2,5-thiadiazepin-2(3H)-yl)- (9CI) (CA INDEX NAME)

410545-36-7 CAPLUS 1,6-Maphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-1,2.5-thiadiazepin-2(3H)-yl)-,mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

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LS ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CRN 410545-35-6 CMF C20 H20 F N5 O4 S

CH 2

CRN 76-05-1 CMF C2 H F3 O2

410545-41-4 CAPLUS
1,6-Maphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-tetrahydro-5-(methylsulfonyl)-1,1-dioxido-1,2,5-thiadiazepin-2(3H)-yl]-(9CI) (CA INDEX NAME)

LS ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

410545-47-0 CAPLUS
1,6-Naphthytidine-7-carboxamide, N-[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]-8-hydroxy-5-[methyl[(1-methyl-1H-imidazol-4-yl)sulfonyl]mminoj-(SCI) (CA INDEX NAME)

410545-50-5 CAPLUS
1,6-Maphthyridine-5,7-dicarboxamide, N7-[[4-fluoro-2-(methylsulfonyl)phenyl]methyl]-8-hydroxy-N5,N5-dimethyl- (9CI) (CA INDEX NAME)

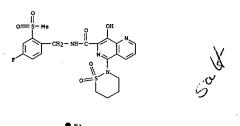
L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

410545-43-6 CAPLUS
1,6-Maphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-6-methyl-1,1-dioxido-2H-1,2,6-thiadiazin-2-yl)- (9CI) (CA INDEX NAME)

410545-44-7 CAPLUS
1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5[methyl[(1-methyl-1H-imidazol-4-yl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

410545-56-1 CAPLUS
1,6-Maphthyridine-7-carboxamide, N-[(4-fluoro-2-(methylsulfonyl)phenyl]methyl]-8-hydroxy-5-(tetrahydro-1,l-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)



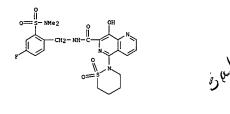
410545-64-1 CAPLUS
1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-[[2-(methylsulfonyl)phenyl]methyl]-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, sodium salt (4:7) (9CI) (CA INDEX NAME)

09/973,853

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN

●7/4 Na

410545-70-9 CAPLUS
1,6-Maphthyridine-7-carboxamide, N-{{2-{(dimethylamino)sulfonyl}-4-fluocophenyl}aethyl}-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)



410545-80-1 CAPLUS
1.6-Maphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(1-methyl-5-oxo-3-pyrrolidinyl)-, trifluoroacetate (20:3) (salt) (9CI) (CA INDEX NAME)

CRN 410545-79-8 CMF C21 H19 F N4 O3

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

410545-88-9 CAPLUS
1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[(3-(4-methyl-1-piperazinyl)propyl)amino]- (9CI) (CA INDEX NAME)

410545-89-0 CAPLUS 1,6-Maphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

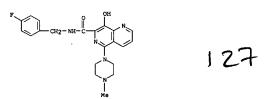
CRN 76-05-1 CMF C2 H F3 O2

410545-86-7 CAPLUS
1,6-Maphthyridine-7-carboxamide, N-{(4-fluorophenyl)methyl}-8-hydroxy-5-tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)

● Na

410545-87-8 CAPLUS
1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-5-[[3-(4,5-dihydro-1H-imidazol-1-yl)propyl]amino]-8-hydrowy- (9CI) (CA INDEX NAME)

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



410545-90-3 CAPLUS Ethanediamide, [7-[[[(4-fluorophenyl)methyl]amino]carbonyl]-8-hydroxy-1,6-naphthyridin-5-yl]trimethyl- (9CI) (CA INDEX NAME)

410545-91-4 CAPLUS
1,6-Maphthyridine-5,7-dicarboxamide, N7-[(4-fluorophenyl)methyl]-8-hydroxy-NS,NS-dimethyl- (9C1) (CA INDEX NAME)

410545-92-5 CAPLUS
1.6-Maphthyridin-5,7-dicarboxamide, N7-[(4-fluorophenyl)methyl]-8-hydroxy-N5-methyl-N5-(1-methylethyl)- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 410545-93-6 CAPLUS
CN 1,6-Waphthyridine-5,7-dicarboxamide, N7-[(4-fluorophenyl)methyl]-8-hydroxy-N5-[2-(4-morpholinyl)methyl]- (9C1) (CA INDEX NAME)

RN 410545-94-7 CAPLUS
CN 1,6-Naphthyridine-5,7-dicarboxamide, N5-[2-(dimethylamino)-2-oxoethyl]-N7[(4-fluorophenyl)methyl]-8-hydroxy-N5-methyl- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 410544-41-1 CAPLUS
CN 1,6-Maphthyridine-7-carboxamide, 5-bromo-N-[(2,3-dimethoxyphenyl)methyl]-8-hydroxy-(9(1) (CA INDEX NAME)

RN 410544-67-1 CAPLUS
CN 1.6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5(methylamino) - (PGI) (CA INDEX NAME)

RN 410544-70-6 CAPLUS
CN 2-Propenoic acid, 3-[7-[[[(4-fluorophenyl)methyl]amino]carbonyl]-8-hydroxy1,6-naphthycidin-5-yl]-, methyl ester, (ZE)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
410544-40-09, 8-Hydroxy-5-bromo(1,6)naphthyridine-7-carboxylic
acid 2-methylsulfanylbenzylanide 410544-119,
5-Bromo-N-(2,3-dimethoxybenzyl)-8-hydroxy-5-(methylanino)-1,6naphthyridine-7-carboxamide 410544-67-19, N-(4-Fluorobenzyl)-8-hydroxy-5-(methylanino)-1,6naphthyridine-7-carboxamide 410544-06-99, Methyl
(ZE)-3-(7-[(4-fluorobenzyl)amino]carbonyl)-8-hydroxy(1,6)naphthyridin-5yl)-2-propenoate 410544-88-69, Methyl 3-[7-[(4-fluorobenzyl)amino]carbonyl]-8-hydroxy(1,6)naphthyridin-5-yl)propanoate
410344-93-39, N-(4-Fluorobenzyl)-5-[2-[(2-aminoethyl)(chloroacetyl)amino]thyl]-8-hydroxy(1,6)naphthyridine-7carboxamide 410545-00-59, N-(4-Fluorobenzyl)-8-methoxy-5(methylamino)-1,6-naphthyridine-7-carboxamide 410545-01-69,
5-[([methylamino)-athonyl](methyl)amino]-N-(4-fluorobenzyl)-8-methoxy-1,6-naphthyridine-7-carboxamide 410545-03-99,
N-(4-Fluorobenzyl)-[-6-methyn-3-methyl-1-(2-morpholin-4-ylethyl)-2-oxo-2,3dihydro-1H-pyrimido[4,5,6-de]-1,6-naphthyridine-5-carboxamide
410545-30-09, 7-[(4-Fluorobenzyl)amino]carbonyl]-8-hydroxy-1,6naphthyridine-5-carboxylic acid sodium salt 410545-03-99,
tert-Butyl 2-[7-[(4-fluorobenzyl)amino]carbonyl]-8-hydroxy-1,6naphthyridin-5-yl]-1,2.5-thiadiacepane-5-carboxylate 1,1-dioxide
410545-68-19, N-(4-Fluorobenzyl)amino]carbonyl-8-hydroxy-1,6naphthyridin-5-yl]-1,2.5-thiadiacepane-5-carboxylate 1,1-dioxide
410545-68-19, N-(4-Fluorobenzyl)amino]carbonyl-8-hydroxy-1,6naphthyridine-7-carboxamide 410545-76-9, S-Bromo-7-[(2-[(dimethylamino]carbonyl)-8-hydroxy-1,6naphthyridine-7-carboxamide 410545-76-9, N-(4-Fluorobenzyl)-8S-Promo-N-(2-[(dimethylamino]sulfonyl]-8-hydroxy-1,6naphthyridine-7-carboxamide 410545-76-9, N-(4-Fluorobenzyl)-8S-Promo-N-(2-[(dimethylamino]sulfonyl]-8-hydroxy-1,6naphthyridine-7-carboxamide 410545-76-9, N-(4-Fluorobenzyl)-8N-(4-Fluorobenzyl)-8-Nydroxy-1,6naphthyridine-7-carboxamide 410545-76-9, N-(4-Fluorobenzyl)-8N-(4-Fluorobenzyl)-8-Nydroxy-1,6naphthyridine-7-carboxamide 410545-76

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 410544-88-6 CAPLUS
1.6-Waphthyridine-5-propanoic acid, 7-[[[(4-fluorophenyl)methyl]amino]carbonyl]-8-hydroxy._methyl ester (9CI) (CA INDEX NAME)

RN 410544-93-3 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 5-[2-[(2-aminoethyl)(chloroacetyl)amino]ethyl]-N-[(4-fluorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)

$$\begin{picture}(20,0) \put(0,0){\line(1,0){100}} \put(0,0){\line(1,0){100$$

RN 410545-00-5 CAPLUS
CN 1.6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-methoxy-5[setbylamino)- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 410545-01-6 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 5-[[(dimethylamino)carbonyl]methylamino]N-[(4-fluorophenyl)methyl]-8-methoxy- (9CI) (CA INDEX NAME)

RN 410545-03-8 CAPLUS
CN 1H-Pyrimido[4,5,6-de][1,6]naphthyridine-5-carboxamide,
N-[4-fluorophenyl]methyl]-2,3-dihydro-6-methoxy-3-methyl-1-[2-(4-morpholinyl)ethyl]-2-oxo- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Na

RN 410545-40-3 CAPLUS
CN 1,2,5-Thiadiazepine-5(2H)-carboxylic acid, 2-[7-[[[(4-fluorophenyl)methyl]amino]carbonyl]-8-hydroxy-1,6-naphthyridin-5-ylltetrahydro-, 1,1-dimethylethyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)

RN 410545-48-1 CAPLUS
CN 1,6-Maphthyridine-7-carboxamide, N-[[4-fluoro-2-(methylthio)phenyl]methyl]8-hydroxy-5-[methyl[(1-methyl-1H-imidazol-4-yl)sulfonyl]amino]- (9CI) (CA
INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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CH₂

RN 410545-13-0 CAPLUS
CN 1,6-Naphthyridine-5-carboxylic acid, 7-[[[(4-fluorophenyl)methyl]amino]car
bonyl]-8-hydroxy-, monosodium salt (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 410545-54-9 CAPLUS
CN 1,6-Naphthyridine-5-carboxylic acid, 7-[[[[4-fluoro-2(methylthio)phenyl]methyl]amino]carbonyl]-8-hydroxy-, methyl ester (9CI)
(CA INDEX NAME)

N 410545-55-0 CAPLUS
N 1.6-Maphthyridine-5-carboxylic acid, 7-[[[[4-fluoro-2(methylthio)phenyl]methyl]amino|carbonyl]-8-hydroxy- (9CI) (CA INDEX NAME)

RN 410545-66-3 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 5-bromo-8-hydroxy-N-[{2-(methylbulfonyl)phenyl]methyl}- (9CI) (CA INDEX NAME)

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

410545-67-4 CAPLUS
1,6-Naphthyridine-7-carboxamide, 5-bromo-8-[[(4-methylphenyl)sulfonyl]oxy]-N-[[2-(methylsulfonyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

410545-68-5 CAPLUS
1,6-Naphthyridine-7-carboxamide, 8-[[(4-methylphenyl)sulfonyl]oxy]-N-[[2-methylsulfonyl]phenyl]methyl]-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

410545-77-6 CAPLUS
1,6-Maphthyridine-7-carboxamide, N-[[2-[(dimethylamino)sulfonyl]-4-fluorophenyl]methyl]-8-[((4-methylphenyl]sulfonyl]oxy]-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)

410545-81-2 CAPLUS 1,6-Naphthytidine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-(9CI) (CA INDEX NAME)

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L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

410545-75-4 CAPLUS
1,6-Naphthyridine-7-carboxamide, 5-bromo-N-[[2-[(dimethylamino)sulfonyl]-4-fluorophenyl]methyl]-8-hydroxy- (9CI) (CA INDEX NAME)

410545-76-5 CAPLUS
1,6-Naphthyridine-7-carboxamide, 5-bromo-N-[[2-{(dimethylamino) sulfonyl]-4-fluorophenyl]methyl]-8-[[(4-methylphenyl) sulfonyl]oxy]- (9CI) (CA INDEX NAME)

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued) 410545-82-3 CAPLUS 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-iodo-(9CI) (CA INDEX NAME)

410545-83-4 CAPLUS 1,6-Maphthyridime-7-carboxamide, 5-(2,5-dihydro-5-oxo-3-furanyl)-N-[(4-fluorophenyl)methyl]-8-hydroxy- (9Cl) (CA INDEX NAME)

410545-85-6 CAPLUS
1,6-Maphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-[1-methyl-2-(methylanio)-5-oxo-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

410545-84-5P, N,N'-Bis(4-fluorobenzyl)-8,8'-dihydroxy-5,5'-bi-1,6naphthytidine-7,7'-dicarboxamide
RL: SPN (Synthetic preparation): PREP (Preparation)
(prepn. of (poly)azanaphthalenyl carboxamides as HIV integrase
inhibitors for treatment of AIDS)
410545-84-5 CAPUS
[5,5'-Bi-1,6-naphthytidine]-7,7'-dicarboxamide, N,N'-bis[(4fluorophenyl)methyl]-8,8'-dihydroxy- (9CI) (CA INDEX NAME)

410544-31-9, 5-Bromo-N-(3,5-difluorobenzyl)-8-hydroxy-1,6naphthyridine-7-carboxamide 410544-94-4, tert-Butyl
2-[(2-[7-[[(4-fluorobenzyl]amino]carbonyl]-8-hydroxy[1,6]naphthyridin-5yl]ethyl]amino]ethylcarbamate
RL: RCT (Reactant): RACT (Reactant or reagent)
(reactant): prepn. of (poly)azanaphthalenyl carboxamides as HIV
integrase inhibitors for treatment of AIDS)
410544-31-9 CAPLUS
1,6-Naphthyridine-7-carboxamide, 5-bromo-N-[(3,5-difluorophenyl)methyl]-8hydroxy- (9CI) (CA INDEX NAME)

410544-94-4 CAPLUS
Carbamic acid, [2-[2-[7-[[{(4-fluorophenyl)methyl]amino]carbonyl]-8-hydroxy-1,6-naphthyridin-5-yl]ethyl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN ACCESSION NUMBER: 2002:293447 CAPLUS DOCUMENT NUMBER: 136:325438 DOCUMENT NUMBER: 136:328438
Preparation of aza- and polyaza-naphthalenylcarboxamides as HIV integrase inhibitors
Anthony, Neville J.; Gomez, Robert P.; Bennett,
Jennifer J.; Young, Steven D.; Egbertson, Melissa; INVENTOR(S): Wai, John S.
Merck & Co., Inc., USA
PCT Int. Appl., 154 pp.
CODEN: PIXXD2
Patent PATENT ASSIGNEE(S): DOCUMENT TYPE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. A1 20020418 APPLICATION NO. DATE PRIORITY APPLN. INFO.: OTHER SOURCE(S):

$$\begin{array}{c|c} & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\$$

The title compds. [I; A = heterocycle substituted by R1-R4; L = a single bond, alkylene, alkenylene, etc.; X, Y, Z1, Z2 = N, (un) substituted CH provided that X and Y are not both N: Z3 = N, CR; R1, R2 = H, alkyl, halo, etc.; R3, R4 = H, halo, CN, etc.; R5 = H, alkyl, aryl, etc.], useful as inhibitors of HIV integrase and inhibitors of HIV replication, were prept. and formulated. Thus, reacting Me 8-hydroxy-1,6-naphthyridine-7-carboxylate (prepn. given) with 1-(pyridin-2-yl)methamaline in PhMe afforded I [A = 2-pyridylr L = CH2; X = N; Y, Z1-Z3 = CH; R1-R5 = H]. Representative compds. I tested in the integrase assay demonstrated ICSO's of < 100 .mu.M. The compds. I are useful in the prevention or treatment of infection by HIV and the treatment of AIDS, as compds. or pharmaceutically acceptable salts, or as ingredients in pharmaceutical compns., optionally in combination with other antivirals,

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ANSWER 8 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued) immunomodulators, antibiotics or vaccines. Methods of preventing, treating or delaying the onset of AIDS and methods of preventing or treating infection by HIV are also described.

412334-28-29
RI: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USSS (Uses) (prepn. of aza- and polyaza-naphthalenyl-carboxamides as HIV integrase inhibitors)
412334-28-2 CAPLUS
HH-Indole-1-carboxylic acid, 3-[[[(8-hydroxy-1,6-naphthyridin-7-yl)carbonyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

412334-18-0P 412334-19-1P 412334-20-4P 412334-21-5P 412334-21-5P 412334-22-6P 412334-23-7P 412334-27-1P 412334-29-3P 412334-29-3P 512334-27-1P 412334-29-3P 51234-27-3P 51234-

(Uses) (prepn. of aza- and polyaza-naphthalenyl-carboxamides as HIV integrase inhibitors) 412334-18-0 CAPLUS 1,6-Maphthyridine-7-carboxamide, N-(1H-benzimidazol-2-ylmethyl)-8-hydroxy-(9CI) (CA INDEX NAME)

412334-19-1 CAPLUS 1,6-Maphthytidine-7-carboxamide, N-(1H-benzimidazol-2-ylmethyl)-8-hydroxy-, mono(trifluoroacetate) (salt) (SCI) (CA INDEX NAME)

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L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued) CRN 412334-18-0 CMF C17 H13 N5 02

CH 2

CRN 76-05-1

RN 412334-20-4 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-{2-(1H-indol-3-y1)ethyl](9CI) (CA INDEX NAME)

RN 412334-21-5 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[2-[2-(3,4-dimethoxyphenyl)-1H-indol-3-yl]ethyl]-8-hydroxy- (9CI) (CA INDEX NAME)

L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 412334-24-8 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-[2-(2-methyl-1-phenyl-1H-indol-3-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 412334-25-9 CAPLUS
CN 1.6-Naphthyridine-7-carboxamide, 8-hydroxy-N-(1H-indol-6-ylmethyl)- (9CI)
(CA INDEX NAME)

RN 412334-26-0 CAPLUS
CN 1.6-Naphthyridine-7-carboxamide, 8-hydroxy-N-(1H-indol-2-ylmethyl)- (9C1)
(CA INDEX NAME)

L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 412334-22-6 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(2,3-dihydro-2-oxo-1H-indol-3-yl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)

RN 412334-23-7 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, 8-hydroxy-N-[2-(10H-phenothiazin-10-yl)ethyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 412334-27-1 CAPLUS
CN 1,6-Naphthyridine-7-carboxamide, N-[(3,4-dihydro-4-oxo-1-phthalaziny1)methy1]-8-hydroxy- (9CI) (CA INDEX NAME)

RN 412334-29-3 CAPLUS
CN 1.6-Naphthyridine-7-carboxamide, 0-hydroxy-N-(1H-indol-3-ylmethyl)- (9CI)
(CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT